



# ***STIC Search Report***

## ***Biotech-Chem Library***

**STIC Database Tracking Number: 95075**

**TO: David Lukton**  
**Location: cm-19b05/9b01**  
**Art Unit: 1653**  
**June 2, 2003**

**Case Serial Number: 581511**

**From: P. Sheppard**  
**Location: CM1-1E03**  
**Phone: (703) 308-4499**

**sheppard@uspto.gov**

### **Search Notes**

## SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: David Lukton Examiner #: 71263 Date: 05-27-03  
 Art Unit: 1653 Phone Number 30 8-3213 Serial Number: 09-581511  
 Mail Box and Bldg/Room Location: \_\_\_\_\_ Results Format Preferred (circle): PAPER DISK E-MAIL

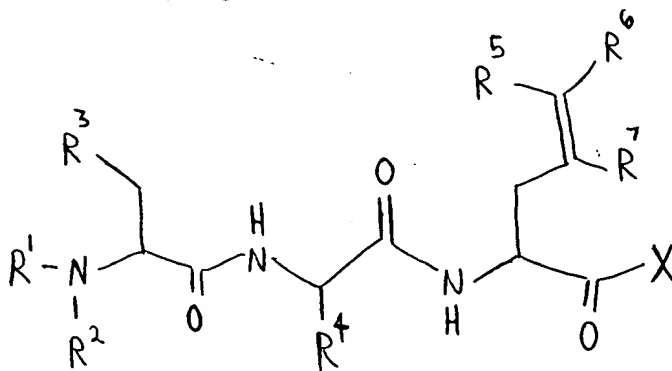
MailBox: 9B01; Exp. Am: 9B05

If more than one search is submitted, please prioritize searches in order of need.

Title of Invention: HEMIASTERLIN ANALOGSApplicants: Andersen, Raymond; Piers, Edward; NIEMAN, JAMES; COLEMAN, JOHN;  
ROBERGE, MICHELEarliest Priority Date: 12/19/97

\*\*\*\*\*

Applicants are claiming the compounds below

 $R^1, R^2, R^4 = \text{anything};$  $R^5, R^6, R^7 = \text{alkyl or hydrogen or phenyl};$  $R^3$  is anything other than indole; $X = \text{OH}, \text{NH}_2, \text{ or } \text{OR}^8$ or else  $X$  is  $-\text{NH}-\text{CH}(\text{R}^9)-\text{COOH}$  $\text{R}^8 = \text{alkyl}$  $\text{R}^9 = \text{anything}$ \*\*\*\*\*  
STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: _____ Point of Contact P. Sheppard	NA Sequence (#) _____	STN _____
Telephone number: (703) 308-4499	AA Sequence (#) _____	Dialog _____
Searcher Phone #: _____	Structure (#) _____	Questel/Orbit _____
Searcher Location: _____	Bibliographic _____	Dr.Link _____
Date Searcher Picked Up: _____	Litigation _____	Lexis/Nexis _____
Date Completed: <u>6/2/03</u>	Fulltext _____	Sequence Systems _____
Searcher Prep & Review Time: _____	Patent Family _____	WWW/Internet _____
Clerical Prep Time: _____	Other _____	Other (specify) _____
Online Time: _____		

=> fil hcaplus  
 FILE 'HCAPLUS' ENTERED AT 17:03:31 ON 02 JUN 2003  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

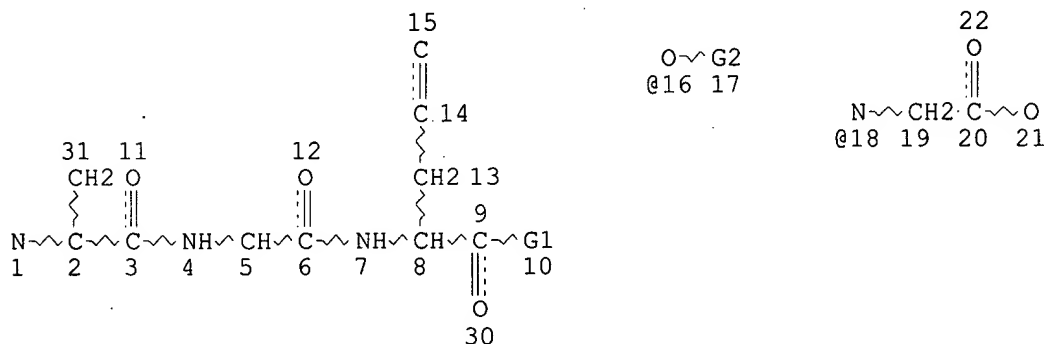
Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 2 Jun 2003 VOL 138 ISS 23  
 FILE LAST UPDATED: 1 Jun 2003 (20030601/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=>  
 =>

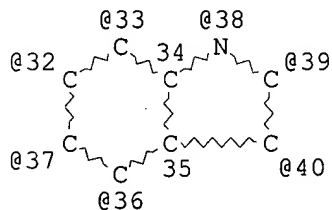
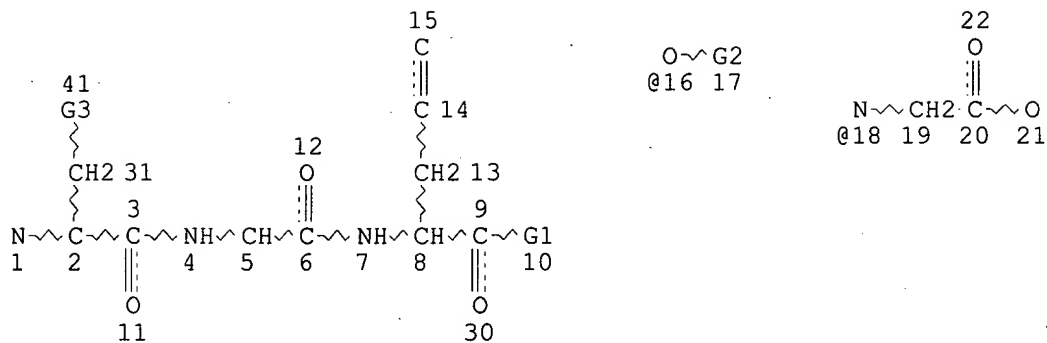
=> d stat que 169  
 L52 STR



VAR G1=OH/NH2/16/18  
 VAR G2=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ELEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE  
 L55 STR



VAR G1=OH/NH2/16/18  
 VAR G2=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU  
 VAR G3=32/33/38/39/40/36/37  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 34

STEREO ATTRIBUTES: NONE  
 L61 SCR 1840  
 L65 28 SEA FILE=REGISTRY SSS FUL L52 NOT L61  
 L66 17 SEA FILE=REGISTRY SSS FUL L52 AND L61  
 L67 45 SEA FILE=REGISTRY ABB=ON PLU=ON L65 OR L66  
 L68 43 SEA FILE=REGISTRY SUB=L67 SSS FUL L52 NOT L55  
 L69 25 SEA FILE=HCAPLUS ABB=ON PLU=ON L68

=>  
 =>

=> d ibib abs hitrn 169 1-25

L69 ANSWER 1 OF 25 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2003:22860 HCAPLUS  
 DOCUMENT NUMBER: 138:90077  
 TITLE: Preparation of peptoid compounds for treatment of  
 bacterial infections  
 INVENTOR(S): Bremner, John; Pyne, Stephen; Keller, Paul; Coghlan,  
 Dan; Garas, Adel; Witchard, Helen; Boyle, Tim; Coates,  
 Jonathan

PATENT ASSIGNEE(S): University of Wollongong, Australia  
 SOURCE: PCT Int. Appl., 102 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002545	A1	20030109	WO 2002-AU850	20020628

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: AU 2001-6044 A 20010629

OTHER SOURCE(S): MARPAT 138:90077

AB The invention relates to new peptoid compds. A-Zr(CHR1)sCR2R3COBnNHCR4[CH2Wm(CH2)p-Y]CONHCR5R6(CH2)qCHR7CHR8aR8b [A is a (hetero)arom. ring system (or partially or fully reduced derivs.), which may be substituted by (cyclo)alkyl groups, a mono- or disaccharide moiety, or groups Xt-R9, where X = (CR10R11)x, NR12(CR10R11)x, (CR10R11)xNR12, O(CR10R11)x, (CR10R11)xO, etc.; n, m, r, t = 0 or 1; x, q = 0-4; R9 is H or forms a covalent bond with R8b; R10, R11 = H, OH, alkyl, aryl, alkoxy, an amino group; R12 = H, alkyl; Z = CR10R11, NR12, CO2, CONR12, or O; s = 0-3; R1, R2 = H, OH, alkyl, alkoxy, an amino or acylamino group; R3-R5 = H, alkyl, or side chains of .alpha.-amino acids; R6 = carboxy or phosphoryl or esters, carbamoyl, sulfonyl groups, etc.; R7, R8a = H or form a bond; B is an .alpha.- or .beta.-amino acid residue or an .alpha.,.alpha.-disubstituted amino acid residue; W is O or CR10R11; Y is an optionally substituted amino group or a moiety contg. an optionally substituted amino group; p = 0-6 (at least 1 when W is O)] for use in the treatment of bacterial infections such as those caused by vancomycin-resistant microorganisms. Thus, benzyl (R/S)-N-[3-(3'-allyl-2,2'-dimethoxy-1,1'-binaphth-3-yl)-2-acetamidopropionyl]-.epsilon.-[tert-butoxycarbonyl]-D-lysyl-L-allylglycine benzyl ester was prepd. via peptide coupling reaction and showed MIC = 4 .mu.g/mL for against S. aureus.

IT 484023-93-OP 484023-96-3P 484023-99-6P

484024-16-OP 484024-17-1P 484024-20-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of peptoid compds. for treatment of bacterial infections)

IT 484024-21-7P 484024-62-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptoid compds. for treatment of bacterial infections)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 2 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:906473 HCAPLUS

DOCUMENT NUMBER: 138:16587

TITLE: Conjugates activated by cell surface proteases and therapeutic uses thereof

INVENTOR(S): Madison, Edwin L.; Semple, Joseph Edward; Vlasuk,

George P.; Kemp, Scott Jeffrey; Komandla, Mallareddy;  
 Siev, Daniel Vanna  
 PATENT ASSIGNEE(S): Corvas International, Inc., USA  
 SOURCE: PCT Int. Appl., 581 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002095007	A2	20021128	WO 2002-US16819	20020523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2001-293267P P 20010523	
OTHER SOURCE(S): MARPAT 138:16587				
AB Conjugates, compns. and method for treatment, prevention, or amelioration of one or more symptoms of cell surface protease-related diseases, including MTSP-related, urokinase-type plasminogen activator (uPA) or endotheliase-related diseases, are provided. The conjugates for use in the compns. and methods are peptidic conjugates that contain therapeutic, including cytotoxic, agents.				
IT <b>476681-17-1D</b> , drug conjugates <b>476681-18-2D</b> , drug conjugates <b>476681-78-4D</b> , drug conjugates RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (drug conjugates activated by cell surface proteases for drug delivery)				

L69 ANSWER 3 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:853427 HCAPLUS

DOCUMENT NUMBER: 138:304509

TITLE: The synthesis of a novel binaphthyl-based cyclic  
 peptoid with anti-bacterial activity

AUTHOR(S): Bremner, John B.; Coates, Jonathan A.; Coghlan, Daniel  
 R.; David, Dorothy M.; Keller, Paul A.; Pyne, Stephen  
 G.

CORPORATE SOURCE: Department of Chemistry, University of Wollongong,  
 Wollongong, 2522, Australia

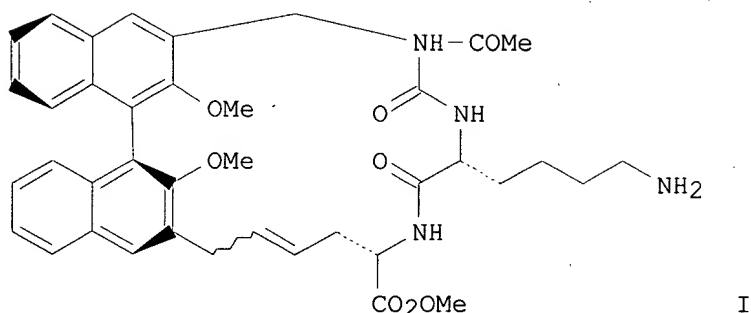
SOURCE: New Journal of Chemistry (2002), 26(11), 1549-1551  
 CODEN: NJCHE5; ISSN: 1144-0546

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB The novel cyclic peptoid I, based upon a 1,1'-binaphthyl scaffold and a bridging tripeptide moiety, was synthesized utilizing a ring-closing metathesis reaction. The individual major and minor diastereomers of compd. I were shown to have promising anti-bacterial activity against *Staphylococcus aureus* with inhibition of microbial growth at 17 .mu.L-1m (MIC) and 31 .mu.g ml-1, resp.

IT 509091-70-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of binaphthyl-based cyclic peptoid from dihydroxybinaphthyl using peptide coupling and ring-closing metathesis as key step)

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 4 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:116981 HCAPLUS

DOCUMENT NUMBER: 137:149812

TITLE: A designed P1 cysteine mimetic for covalent and non-covalent inhibitors of HCV NS3 protease

AUTHOR(S): Narjes, Frank; Koehler, Konrad F.; Koch, Uwe; Gerlach, Benjamin; Colarusso, Stefania; Steinkuhler, Christian; Brunetti, Mirko; Altamura, Sergio; De Francesco, Raffaele; Matassa, Victor G.

CORPORATE SOURCE: Department of Chemistry, MRL Rome, IRBM, Rome, Pomezia, 00040, Italy

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(4), 701-704

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The difluoromethyl group was designed by computational chem. methods as a mimetic of the canonical P1 cysteine thiol for inhibitors of the hepatitis C virus NS3 protease. This modification led to the development of competitive, non-covalent inhibitor AcAspGlu-NHCH(CHPH2)CO-Glu-NHCH(CH2C6H11)CONHCH(CH2CHF2)R (I, R = CHO) Ki 30 nM and reversible covalent inhibitors (I, R = CO2H) Ki 0.5 nM; and (I, R = COCO2H) Ki\* 10 pM.

IT 444990-64-1

RL: PAC (Pharmacological activity); BIOL (Biological study)

(designed P1 cysteine mimetic for covalent and non-covalent inhibitors of HCV NS3 protease)

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 5 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:368424 HCAPLUS

DOCUMENT NUMBER: 133:12727

TITLE: Peptidic pharmaceutical compounds for the inhibition of hepatitis C virus NS3 protease  
 INVENTOR(S): Pessi, Antonello; Ingallinella, Paola; Bianchi, Elisabetta  
 PATENT ASSIGNEE(S): Istituto di Ricerche di Biologia Molecolare p Angeletti Spa, Italy  
 SOURCE: PCT Int. Appl., 46 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000031129	A1	20000602	WO 1999-EP9207	19991124
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1144446	A1	20011017	EP 1999-972641	19991124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			GB 1998-25946	A 19981126
			WO 1999-EP9207	W 19991124
OTHER SOURCE(S): MARPAT 133:12727				
AB Peptidic inhibitors of hepatitis C virus NS3 protease are disclosed which are based on the P and P' regions of the natural substrate. The P' part of the inhibitor is optimized to achieve max. binding energy through interaction with the S' region of the enzyme. By selecting amino acids such that the inhibitor is substantially not cleavable by the NS3 protease, inhibitors having potency in the low nanomolar to sub-nanomolar range can be achieved.				
IT 272435-37-7				
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (peptidic pharmaceutical compds. for inhibition of hepatitis C virus NS3 protease)				
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L69 ANSWER 6 OF 25 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1999:671033 HCAPLUS  
 DOCUMENT NUMBER: 131:286829  
 TITLE: Preparation of peptides, peptide analogs and amino acid analogs as protease inhibitors  
 INVENTOR(S): Munoz, Benito; McDonald, Ian A.; Albrecht, Elisabeth  
 PATENT ASSIGNEE(S): Sibia Neurosciences, Inc., USA  
 SOURCE: U.S., 45 pp., Cont.-in-part of U. S. 5,804,560.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5969100	A	19991019	US 1995-403420	19950313



US 5804560	A	19980908	US 1995-369422	19950106
US 5714471	A	19980203	US 1995-443901	19950517
US 5962419	A	19991005	US 1995-442514	19950517
US 6015879	A	20000118	US 1995-442662	19950517
US 6051684	A	20000418	US 1995-442786	19950517
US 6153171	A	20001128	US 1995-443048	19950517
US 5863902	A	19990126	US 1995-444912	19950518
US 5872101	A	19990216	US 1995-444361	19950518
US 6017887	A	20000125	US 1995-443931	19950518
CA 2209234	AA	19960711	CA 1996-2209234	19960105
WO 9620725	A2	19960711	WO 1996-US360	19960105
WO 9620725	A3	19961017		

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN

AU 9646973	A1	19960724	AU 1996-46973	19960105
ES 2184850	T3	20030416	ES 1996-902650	19960105

PRIORITY APPLN. INFO.:

US 1995-369422	A2	19950106
US 1995-403420	A1	19950313
WO 1996-US360	W	19960105

OTHER SOURCE(S): MARPAT 131:286829

AB The title compds. represented by formulas R7-(Q)n-NR6CHR5CONR4CHR3CONR2CR1R8X (I), RBRACH-(Q)n-NR4CHR3CONR2CR1R8X (II), and RBRACH-(Q)n-NR2CR1R8X (III) [R1 is preferably 2-Me propene, 2-butene, norleucine; R2, R4, and R8 are each independently Me or ethyl; R3 is preferably iso-Bu or phenyl; R5 is preferably isobutyl; R6 is H or methyl; R7-(Q)n is preferably benzyloxycarbonyl or acetyl; Q is preferably CO; RB is preferably iso-butyl; RA = (T)m-(D)m-R1, in which T is preferably oxygen or carbon, and D is preferably a mono-unsatd. C3-4 alkenyl; X is an alc., particularly a secondary alc.] or their pharmaceutically acceptable salts, useful as protease inhibitors (no data), are prepd. A method for inhibiting a protease comprises contacting cells with a protease-inhibiting amt. of the compd. I, II, or III. A method for (1) treating a neurodegenerative disease (e.g. Alzheimer's disease, cognition deficits, Downs Syndrome, cerebral hemorrhage with amyloidosis, dementia pugilistica, and head trauma) characterized by the cerebral deposition of amyloid and (2) treating a patient suffering from a disease characterized by a degrdn. of the neuronal cytoskeleton resulting from a thrombolytic or hemorrhagic stroke comprises administering to the patient a therapeutically effective amt. of the compd. I, II, or III. Thus, Z-Leu-Leu-OH was condensed with Et 2-amino-4-methyl-4-pentenoate hydrochloride (prepn. given) using HOBT, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and Et3N in CH2Cl2 to give 47.3% Z-Leu-Leu-NHCH(CO2Et)CH2CMe:CH2 as a mixt. of diastereomers, which was reduced by LiBH4 in EtOAc at 0.degree. for 30 min to give 85.6% Z-Leu-Leu-NHCH(CH2OH)CH2CMe:CH2 as a mixt. of diastereomers.

IT 246242-59-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of peptidyl, peptidyl analog and amino acid analog alcs. as protease inhibitors for disease therapy)

REFERENCE COUNT: 179 THERE ARE 179 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 7 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:495313 HCAPLUS

DOCUMENT NUMBER: 131:127188

TITLE: Peptide inhibitors of the serine protease activity

INVENTOR(S): associated with the NS3 protein of hepatitis C virus  
Pessi, Antonello; Steinkuhler, Christian; De  
Francesco, Raffaele  
PATENT ASSIGNEE(S): Istituto Di Ricerche Di Biologia Molecolare, Italy  
SOURCE: PCT Int. Appl., 82 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

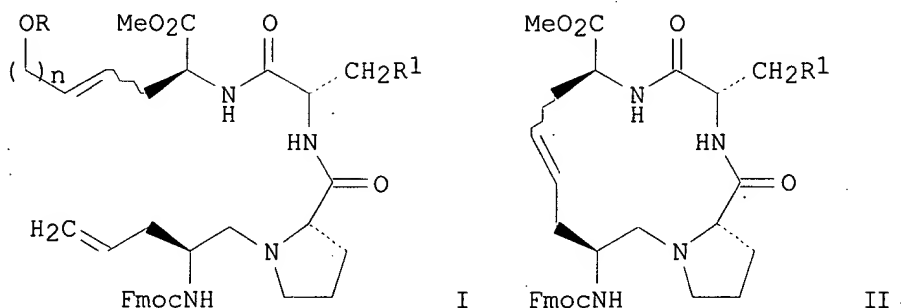
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9938888	A2	19990805	WO 1999-IT22	19990202
WO 9938888	A3	19991007		
W: AU, CA, CN, IL, JP, KR, MX, NO, NZ, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
IT 1299134	B1	20000229	IT 1998-RM61	19980202
CA 2319306	AA	19990805	CA 1999-2319306	19990202
AU 9925450	A1	19990816	AU 1999-25450	19990202
EP 1053249	A2	20001122	EP 1999-905173	19990202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002509075	T2	20020326	JP 2000-529354	19990202
PRIORITY APPLN. INFO.: IT 1998-RM61 A 19980202				
WO 1999-IT22 W 19990202				

AB Subject of the invention are peptides capable of inhibiting the serine protease activity assocd. with the NS3 protein of hepatitis C viruses (HCV), their uses and a process for their prodn. In particular, proteolysis-derived peptides bearing in their C-terminal portion of their sequence the amino acids naturally occurring in the P4, P3, P2 and P1 positions (according to the definition of I. Schechter and A. Berger (1967)) of the junction sites NS3/NS4A, NS4A/NS4B, NS4B/NS5A and NS5A/NS5B exhibit an inhibitory capacity towards the NS3 protease itself. Among peptide of viral origin, particular inhibitory effectiveness was evidenced in the peptides Asp-Glu-Met-Glu-Glu-Cys (IC50 = 1.1.mu.M) and Glu-Asp-Val-Val-Cys-Cys (IC50 = 5.3 .mu.M), corresponding to the P6-P1 residues, resp., of sites NS4A/NS4B and NS5A/NS5B. Sixty-nine peptide inhibitors are provided with IC50 values in the micromolar range. The peptides may be used for binding or inhibition assays of the enzymic activity of HCV NS3 protease, and in particular for the prepn. of drugs for the treatment of non-A non-B hepatitis.

IT **234757-88-1**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(peptide inhibitors of the serine protease activity assocd. with the NS3 protein of hepatitis C virus)

L69 ANSWER 8 OF 25 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1997:727465 HCAPLUS  
DOCUMENT NUMBER: 128:13423  
TITLE: Cyclization/cleavage of macrocycles by ring-closing metathesis on solid support-conformational studies  
AUTHOR(S): Pernerstorfer, Josef; Schuster, Matthias; Blechert, Siegfried  
CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ. Berlin, Berlin, D-10623, Germany  
SOURCE: Chemical Communications (Cambridge) (1997), (20), 1949-1950  
CODEN: CHCOFS; ISSN: 1359-7345

PUBLISHER: Royal Society of Chemistry  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB Grubbs' ruthenium metathesis catalyst  $\text{Cl}_2(\text{PCy}_3)_2\text{Ru}:\text{CHPh}$  (Cy = cyclohexyl) was used to investigate the catalytic cyclization/cleavage of supported tetrapeptide derivs., e.g. I (R = tritylpolystyrene support; R1 = Ph, n = 1, 8; R1 = 2-deoxy-2-acetamido-3,4,6-tri-O-acetyl-.beta.-D-glucopyranosyloxy, n = 8) to give macrocycles, e.g. II. The rate dependence on substrate conformation has been studied.

IT **199127-25-8DP**, ether with tritylpolystyrene resin  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (cyclization/cleavage of resin-bound allylglycine peptides by ring-closing metathesis)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 9 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:231368 HCAPLUS

DOCUMENT NUMBER: 126:305783

TITLE: Preparation of endothelin antagonistic peptides

INVENTOR(S): Fujita, Kagari; Ihara, Masaki; Ikemoto, Fumihiko;  
 Yano, Mitsuo; Nishikibe, Masaru; Ishikawa, Kiyofumi;  
 Fukami, Takehiro; Hayama, Takeshi; Niiyama, Kenji;  
 Nagase, Toshio; Mase, Toshiaki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: U.S., 46 pp., Cont.-in-part of U.S. Ser. No. 884,642, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

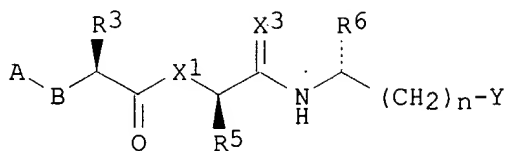
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5614498	A	19970325	US 1992-945414	19920916
US 5470833	A	19951128	US 1994-213829	19940314
US 5444152	A	19950822	US 1994-214679	19940321
US 5496928	A	19960305	US 1994-230534	19940420
US 5691315	A	19971125	US 1995-494818	19950626
PRIORITY APPLN. INFO.:			JP 1990-149105	A 19900607
			US 1991-712095	B3 19910607
			JP 1991-347670	A 19911204
			JP 1991-353738	A 19911218
			US 1992-884642	B2 19920518

JP 1992-234207 A 19920810  
 US 1992-884189 B1 19920518  
 US 1992-945414 A2 19920916  
 US 1992-981424 B1 19921125  
 US 1994-213829 A3 19940314

OTHER SOURCE(S):  
 GI

MARPAT 126:305783



I

AB Peptides I [A = R11O2C, R12R13NCO, R11 = alkyl, Ph; R12 = alkyl, cycloalkyl, 1-adamantyl, Ph substituted by 0-2 halo, CF3, NO2, NH2, OHCNH, pyridyl, thienyl; R13 = H, alkyl, cycloalkyl; NR12R13 = optionally substituted 5-9-membered N heterocycle contg. 0-1 S atoms and optionally benzo-fused; B = O, NR2; R2 = H, alkyl; R3 = alkyl, cycloalkyl, aryl, heterocyclic, cycloalkylalkyl, arylalkyl, heterocyclalkyl; X1 = O, NR4; R4 = H, alkyl; R5 = 3-indolylmethyl, 3-benzothienylmethyl, 1-naphthylmethyl, or benzyl contg. 0-2 OH, formyl, alkyl, alkoxy, alkoxy carbonyl, NO2, or R51COX2 groups; R51 = alkyl, alkoxy, or amino optionally substituted by alkyl; X2 = O, NR52; R52 = H, alkyl; X3 = O, S; R6 = H, alkyl or alkenyl optionally contg. OH, alkoxy, alkylthio, heterocyclalkyl groups; n = 0, 1; Y = CH2OH, CO2R71, CONHR72; R71 = H, alkyl; R72 = H, 1H-tetrazol-5-yl, sulfo, phosphono, alkyl optionally contg. OH, carboxyl, or sulfo] or a pharmaceutically acceptable salt thereof, inhibit the binding of endothelin to its endothelin B (ETB) receptor and are useful in treating diseases assocd. with excess prodn. or secretion of endothelin. Thus, Boc-L-Leu-D-Trp(CO2Me)-D-Nle-OH was prepd. by std. sold. peptide coupling reactions and showed 90% inhibition of binding in a 125I-endothelin-1 assay at 1.1 .mu.M, while 108 related peptides showed 18-100% inhibition at the same concn.

IT 158739-49-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of endothelin antagonistic peptides)

L69 ANSWER 10 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:58126 HCAPLUS

DOCUMENT NUMBER: 126:171876

TITLE: Enzymic oligomerization of the tetrapeptide ester allylglycine-phenylalanine-phenylalanine-allylglycine ethyl ester

AUTHOR(S): Falender, Corrine A.; Blanch, Harvey W.; Clark, Douglas S.

CORPORATE SOURCE: Department of Chemical Engineering, University of California at Berkeley, Berkeley, CA, 94720, USA

SOURCE: Biocatalysis and Biotransformation (1995), 13(2), 131-139

CODEN: BOBOEQ; ISSN: 1024-2422

PUBLISHER: Harwood

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The native hydrolytic action of subtilisin Carlsberg was reversed to oligomerize the tetrapeptide ester H-Ag-Phe-Phe-Ag-OEt, contg. the unnatural amino acid L-allylglycine (Ag), in several miscible aq./org. solvent systems. Mass spectrometry indicated that the octapeptide ester

H-(Ag-Phe-Phe-Ag)2-OEt was formed in all cases and that the dodecapeptide ester H-(Ag-Phe-Phe-Ag)3-OEt was formed in one case. Addnl. mass spectrometry peaks indicated that a no. of other peptides larger than the octapeptide ester may also have been formed. IR anal. revealed that the oligopeptide products exhibit .beta.-sheet peptide folding:

IT 187279-81-8P 187279-83-0P

RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)

(enzymic oligomerization of a tetrapeptide ester)

IT 173723-66-5P 187279-79-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(enzymic oligomerization of a tetrapeptide ester)

L69 ANSWER 11 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:554904 HCAPLUS

DOCUMENT NUMBER: 125:222458

TITLE: Preparation of peptides and peptide analogs as protease inhibitors.

INVENTOR(S): Mcdonald, Ian Alexander; Albrecht, Elisabeth; Munoz, Benito; Rowe, Blake Alan; Siegel, Robert Steven; Wagner, Steven Lee

PATENT ASSIGNEE(S): Salk Institute Biotechnology/Industrial Associates, Inc., USA

SOURCE: PCT Int. Appl., 160 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9620949	A1	19960711	WO 1996-US359	19960105
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN				
US 5804560	A	19980908	US 1995-369422	19950106
US 5714471	A	19980203	US 1995-443901	19950517
US 5962419	A	19991005	US 1995-442514	19950517
US 6015879	A	20000118	US 1995-442662	19950517
US 6051684	A	20000418	US 1995-442786	19950517
US 6153171	A	20001128	US 1995-443048	19950517
CA 2209234	AA	19960711	CA 1996-2209234	19960105
AU 9646972	A1	19960724	AU 1996-46972	19960105
EP 800528	A1	19971015	EP 1996-902650	19960105
EP 800528	B1	20021106		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
JP 11514330	T2	19991207	JP 1996-521271	19960105
AT 227305	E	20021115	AT 1996-902650	19960105
ES 2184850	T3	20030416	ES 1996-902650	19960105
PRIORITY APPLN. INFO.:			US 1995-369422 A	19950106
			WO 1996-US359 W	19960105

OTHER SOURCE(S): MARPAT 125:222458

AB R7QnNR6CHR5CONR4CHR3CONR2CR1R8X, RaRbCHQnNR4CHR3CONR2CR1R8X [R1, R3, R5, R8 = amino acid side chain, H, alkyl, alkenyl, alkynyl, (substituted) aryl, aralkyl, heteroaryl, etc.; R2, R4, R6, R8 = H, alkyl; R7 = alkyl, alkenyl, 9-fluorenyl, (substituted) aryl, aralkyl, aralkenyl, aralkynyl; Q = CO, O2C, SO2, HNCO; n = 0, 1; X = (CH2)rCHO, (CH2)rCN, (CH2)rCOR9,

(CH<sub>2</sub>)rCO(CH<sub>2</sub>)rCHN<sub>2</sub>, etc.; R<sub>9</sub> = haloalkyl], were prepd. Thus, Z-Leu-Phe-Q (Q = cyclohexylalaninealdehyde), prepd. by soln. phase methods, at 40 nM inhibited formation of amyloidogenic A.β. peptide from amyloid precursor protein in HGB 717/Swed cells by 100%.

## IT 180993-77-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of peptides and peptide analogs as protease inhibitors)

## IT 180778-83-0P 180778-84-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of peptides and peptide analogs as protease inhibitors)

L69 ANSWER 12 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:548527 HCAPLUS  
DOCUMENT NUMBER: 125:196393  
TITLE: Preparation of peptide, peptide analog and amino acid analog as protease inhibitors  
INVENTOR(S): Munoz, Benito; McDonald, Ian Alexander; Albrecht, Elisabeth  
PATENT ASSIGNEE(S): The Salk Institute Biotechnology/Industrial Associ, USA  
SOURCE: PCT Int. Appl., 217 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9620725	A2	19960711	WO 1996-US360	19960105
WO 9620725	A3	19961017		
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN			
US 5804560	A	19980908	US 1995-369422	19950106
US 5969100	A	19991019	US 1995-403420	19950313
AU 9646973	A1	19960724	AU 1996-46973	19960105
PRIORITY APPLN. INFO.:			US 1995-369422 A	19950106
			US 1995-403420 A	19950313
			WO 1996-US360 W	19960105

OTHER SOURCE(S): MARPAT 125:196393

AB The title compds. represented by formulas R7-(Q)n-NR6CHR5CONR4CHR3CONR2CR1R8X (I), RBRACH-(Q)n-NR4CHR3CONR2CR1R8X (II), and RBRACH-(Q)n-NR2CR1R8X (III) [R1 is preferably 2-Me propene, 2-butene, norleucine; R2, R4, and R8 are each independently Me or ethyl; R3 is preferably iso-Bu or phenyl; R5 is preferably isobutyl; R6 is H or methyl; R7-(Q)n is preferably benzyloxycarbonyl or acetyl; Q is preferably CO; RB is preferably iso-butyl; RA = (T)m-(D)m-R1, in which T is preferably oxygen or carbon, and D is preferably a mono-unsatd. C3-4 alkenyl; X is an alc., particularly a secondary alc.], useful as protease inhibitors (no data), are prepd. A method for inhibiting a protease comprises contacting cells with a protease-inhibiting amt. of the compd. I, II, or III. A method for (1) treating a neurodegenerative disease (e.g. Alzheimer's disease, cognition deficits, Downs Syndrome, cerebral hemorrhage with amyloidosis, dementia pugilistica, and head trauma) characterized by the cerebral deposition of amyloid and (2) treating a patient suffering from a

disease characterized by a degrdn. of the neuronal cytoskeleton resulting from a thrombolytic or hemorrhagic stroke comprises administering to the patient a therapeutically effective amt. of the compd. I, II, or III. Thus, Z-Leu-Leu-OH was condensed with Et 2-amino-4-methyl-4-pentenoate hydrochloride (prepn. given) using HOBT, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and Et3N in CH2Cl2 to give 47.3% Z-Leu-Leu-NHCH(CO2Et)CH2CMe:CH2 as a mixt. of diastereomers, which was reduced by LiBH4 in EtOAc at 0.degree. for 30 min to give 85.6% Z-Leu-Leu-NHCH(CH2OH)CH2CMe:CH2 as a mixt. of diastereomers.

IT **180778-83-OP 180778-84-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of peptides or its analogs and amino acid analogs as protease inhibitors for disease therapy)

L69 ANSWER 13 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:434890 HCAPLUS

DOCUMENT NUMBER: 125:59118

TITLE: Synthesis and Structure-Activity Relationships of 2-Substituted D-Tryptophan-Containing Peptidic Endothelin Receptor Antagonists: Importance of the C-2 Substituent of the D-Tryptophan Residue for Endothelin A and B Receptor Subtype Selectivity

AUTHOR(S): Fukami, Takehiro; Yamakawa, Takeru; Niiyama, Kenji; Kojima, Hisaki; Amano, Yuuka; Kanda, Fuyuko; Ozaki, Satoshi; Fukuroda, Takahiro; Ihara, Masaki; et al.

CORPORATE SOURCE: Tsukuba Research Institute, Banyu Pharmaceutical Company Ltd., Tsukuba, 300-33, Japan

SOURCE: Journal of Medicinal Chemistry (1996), 39(12), 2313-2330

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Continuing studies on modifications of potent cyclic pentapeptide endothelin (ET) receptor antagonists, represented by BQ-123, and potent linear tripeptide deriv. ET receptor antagonists, represented by BQ-788, are described. The introduction of D-tryptophan analogs with C-2 substituents in these peptide ET antagonists resulted in potent ET receptor antagonists with various ETA/ETB subtype selectivity. Combined ETA/ETB receptor antagonists were found in both cyclic pentapeptide and linear tripeptide series with 2-halo- and 2-methyl-D-tryptophans. In contrast, compds. with 2-cyano-D-tryptophan were ETB receptor-selective antagonists. The C-2 substituent of the D-tryptophanyl residue appeared to be very important for the discrimination of ETA/ETB subtype selectivity of the antagonists. The potent ET receptor antagonists with various ETA/ETB subtype selectivity synthesized in this study may be useful tools for elucidating the physiol. and pathophysiol. roles of ET and ET receptors.

IT **178034-94-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and structure-activity substituted tryptophan-contg. peptide and cyclopeptide endothelin receptor antagonists)

L69 ANSWER 14 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:968443 HCAPLUS

DOCUMENT NUMBER: 124:176881

TITLE: Enzymic synthesis of peptides containing unnatural amino acids

AUTHOR(S): Fernandez, M. M.; Margot, A. O.; Falender, C. A.; Blanch, H. W.; Clark, D. S.

CORPORATE SOURCE: Chemical Engineering Department, University of  
California at Berkeley, Berkeley, CA, USA  
SOURCE: Enzyme and Microbial Technology (1995), 17(11), 964-71  
CODEN: EMTED2; ISSN: 0141-0229  
PUBLISHER: Elsevier  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Several proteases were studied as potential catalysts for the enzymic synthesis of oligopeptides contg. the unnatural amino acid allylglycine, the overall objective being the synthesis of a reactive tetrapeptide that could be chem. polymd. into a potentially biocompatible or biodegradable material. Com. available enzymes were screened for esterase activity toward allylglycine Me ester (DL-AgOMe) to identify potential catalysts for dipeptide synthesis. Proteases from *Aspergillus oryzae* and *Aspergillus sojae*, pronase E and protease Nagarse synthesized the protected dipeptide Cbz-allylglycine-phenylalaninamide (Cbz-L-Ag-L-PheNH<sub>2</sub>) from Cbz-DL-AgOMe and L-PheNH<sub>2</sub>. However, the same enzymes were not able to catalyze the synthesis of Cbz-phenylalanine-allylglycine Et ester (Cbz-L-Phe-L-AgOEt). Thus, although these enzymes could use allylglycine as the acyl donor they could not employ it as the acyl acceptor in peptide synthesis. In contrast, chymotrypsin was able to use allylglycine Et ester (DL-AgOEt) as the acyl acceptor in the synthesis of Cbz-L-Phe-L-AgOEt, but was not able to synthesize Cbz-L-Ag-L-PheNH<sub>2</sub>. Cbz-allylglycine-phenylalanine and phenylalanine-allylglycine Et ester served as substrates for the thermolysin-catalyzed synthesis of the tetrapeptide Cbz-L-Ag-L-Phe-L-Phe-L-AgOEt.

IT 173723-66-5P

RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(enzymic synthesis of allylglycine-contg. peptides)

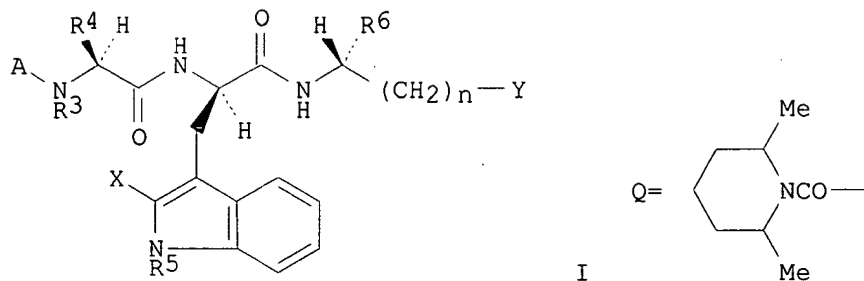
L69 ANSWER 15 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:580487 HCAPLUS  
DOCUMENT NUMBER: 122:315099  
TITLE: Preparation of peptides as novel endothelin antagonists.  
INVENTOR(S): Ishikawa, Kiyofumi; Fukami, Takehiro; Ihara, Masaki; Nishikibe, Masaru; Yano, Mitsuo  
PATENT ASSIGNEE(S): Japan  
SOURCE: PCT Int. Appl., 123 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9419368	A1	19940901	WO 1994-JP194	19940209
W: AU, CA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9460103	A1	19940914	AU 1994-60103	19940209
JP 07041498	A2	19950210	JP 1994-35239	19940209
PRIORITY APPLN. INFO.:			JP 1993-57814	19930223
			JP 1993-144216	19930524
			WO 1994-JP194	19940209

OTHER SOURCE(S): MARPAT 122:315099  
GI





AB Peptides represented by formula [I; R102C (wherein R1 = lower alkyl or aryl) or R21R22NCO (wherein R21 = lower alkyl, cycloalkyl, cycloalkylalkyl, adamantyl, or optionally substituted aryl or heteroaryl; R22 = H, lower optionally substituted by OH, cycloalkyl, cycloalkylalkyl or R21R22N = C4-8 5- to 9-membered ring N-contg. satd. heterocyclyl wherein any methylene group not adjacent to the N atom may be replaced with S and any 1-4 H atoms on the heterocyclyl group may be independently substituted by lower alkyl or lower hydroxyalkyl or it may form a benzo-fused ring at two adjacent C atoms); R3 = H, lower alkyl; R4 = lower alkylthioalkyl, alkenyl, cycloalkyl or cycloalkyl-lower alkyl optionally substituted by C1-4 lower, alkyl, aryl, heteroaryl, aryl-lower alkyl, heteroaryl-lower alkyl; X = halo, lower alkyl; R5 = H, lower alkyl; R6 = H, HO, lower alkoxy or alkylthio, lower alkyl or alkenyl optionally having aryl or heteroaryl substituent; n = 0,1; Y = CH2OH, CO2R71 (wherein R71 = H, lower alkyl), CONR72R73 (wherein R72, R73 = H, aryl, heteroaryl, lower alkyl optionally substituted with OH, CO2H, or SO3H), 1H-tetrazol-5-yl, SO3H, P(O)(OH)2] or analogs thereof are prepd. These compds. I exhibit antagonism against reactions of an endothelin, one of the intrinsic, physiol. active peptides, via endothelin A and B receptors and hence are useful as a remedy for various diseases wherein the endothelin participates, including hypertension, pulmonary hypertension, Raynaud's disease, asthma, acute kidney failure, myocardial infarction, angina pectoris, etc. Thus, Nim-(tert-butoxycarbonyl)-2-chloro-D-tryptophyl-D-norleucine tert-Bu ester was condensed with N-2,6-dimethylpiperidinocarbonyl-L-valine by using 1-hydroxy-1H-benzotriazole hydrate and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in CH2Cl2 and deprotected with CF3CO2H at room temp. to give title compd. I (A = Q, R3 = R5 = H, R4 = CHMe2, R6 = Bu, X = Cl, n = 0, Y = CO2H) (II). II and I (A = Q, R3 = R5 = H, R4 = CHMe2, R6 = n-Pr, X = Br, n = 0, Y = CO2H) at 1.1 .mu.M in vitro inhibited 90 and 100%, resp., the binding of [125I]endothelin to endothelin receptor subtype-ETA prepn. from pig aorta smooth muscle tissue and 99 and 100% resp., that to endothelin receptor subtype-ETB prepn. from pig cerebellum.

IT **163446-08-0P 163446-09-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of peptides as endothelin receptor antagonists)

L69 ANSWER 16 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:681232 HCAPLUS

DOCUMENT NUMBER: 121:281232

TITLE: Preparation of peptide endothelin antagonists

INVENTOR(S): Ishikawa, Kiyofumi; Fukami, Takehiro; Nagase, Toshio; Mase, Toshiaki; Ihara, Masaki; Yano, Mitsuo; Nishikibe, Masaru

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: Can. Pat. Appl., 182 pp.

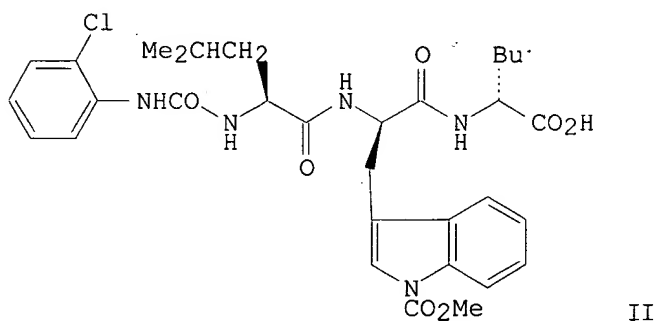
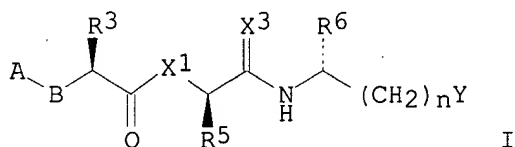
CODEN: CPXXEB

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2084163	AA	19930605	CA 1992-2084163	19921130
EP 555537	A2	19930818	EP 1992-120225	19921126
EP 555537	A3	19941102		
EP 555537	B1	20001102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 197305	E	20001115	AT 1992-120225	19921126
AU 9229838	A1	19930610	AU 1992-29838	19921202
AU 657585	B2	19950316		
JP 06107680	A2	19940419	JP 1992-349905	19921202
JP 3398992	B2	20030421		

PRIORITY APPLN. INFO.:  
 JP 1991-347670 A 19911204  
 JP 1991-353738 A 19911218  
 JP 1992-234207 A 19920810

OTHER SOURCE(S): MARPAT 121:281232  
 GI



AB Title compds. [I; A = R11O2C, R12R13NCO; R11 = alkyl, Ph; R12 = alkyl, cycloalkyl, 1-adamantyl, (substituted) Ph; R13 = H, alkyl, cycloalkyl; R12R13N = (substituted) 5-9-membered heterocyclic ring; B = O, NR2; R2 = H, alkyl; R3 = alkyl, cycloalkyl, aryl, heterocyclyl, cycloalkyl, aryl, heterocyclylalkyl; X1 = O, NR3; R5 = 3-indolylmethyl, 3-benzothienylmethyl, 1-naphthylmethyl, (substituted) PhCH2; R6 = H, alkyl, (substituted) alkenyl; n = 0,1; Y = hydroxymethyl, CO2R71, CONHR72, tetrazolyl, sulfo, phosphono; R71 = H, alkyl; R72 = H, (substituted) alkyl], were prepd. Thus, title compd. I, prepd. by soln. phase methods, antagonized endothelin-3-induced contraction of rabbit pulmonary artery with PA2 = 6.7.

IT 158739-49-2P

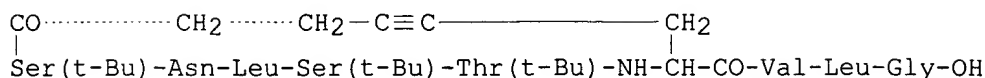
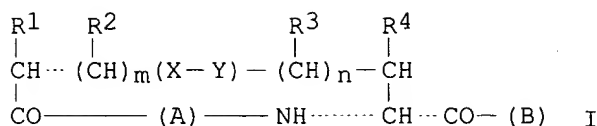
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. of, as endothelin antagonist)

ACCESSION NUMBER: 1994:681212 HCAPLUS  
 DOCUMENT NUMBER: 121:281212  
 TITLE: Introduction of Allylic Side Chains onto Peptides by Pd(0)-Catalyzed "Ester Enolate Claisen Rearrangement"  
 AUTHOR(S): Kazmaier, Uli  
 CORPORATE SOURCE: Organisch-Chemisches Institut, Universitaet Heidelberg, Heidelberg, D-69120, Germany  
 SOURCE: Journal of Organic Chemistry (1994), 59(22), 6667-70  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 121:281212  
 AB Peptide allylic esters, e.g. Z-L-Phe-Gly-OCH<sub>2</sub>CMe:CH<sub>2</sub>, undergo an ester enolate Claisen rearrangement upon treatment with LDA in the presence of various metal salts to give diastereomeric mixts. Z-L-Phe-NHCH(CH<sub>2</sub>CMe:CH<sub>2</sub>)CO<sub>2</sub>Me after esterification with CH<sub>2</sub>N<sub>2</sub>. Best results are obtained using zinc chloride. In the presence of a catalytic amt. of Pd(0) the rearrangement products are formed in high yields. Addn. of Pd(II) has no significant influence on the yield and stereoselectivity of the reaction. In contrast to the rearrangement without Pd(0), the catalyzed reaction probably proceeds via intermol. allylic alkylation as is shown in a cross expt. of different allylic esters. The methodol. is not limited to dipeptides, but can also be applied to larger peptides as is illustrated in the rearrangement of tripeptide Z-L-Phe-L-Ala-Gly-OCH<sub>2</sub>CH:CH<sub>2</sub> to Z-L-Phe-L-Ala-NHCH(CH<sub>2</sub>CH:CH<sub>2</sub>)CO<sub>2</sub>Me after esterification. No epimerization of chiral centers is obsd. under the reaction conditions used.  
 IT 158840-19-8P 158840-20-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (introduction of allylic side chains onto peptides by palladium-catalyzed ester enolate Claisen rearrangements)

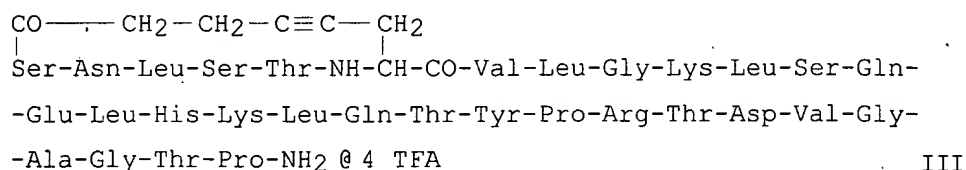
L69 ANSWER 18 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:164906 HCAPLUS  
 DOCUMENT NUMBER: 120:164906  
 TITLE: Preparation of cyclic calcitonin derivatives and their hypocalcemic activity  
 INVENTOR(S): Mena, Renzo; Brugnolotti, Manuela; Farina, Carlo; Pinza, Mario  
 PATENT ASSIGNEE(S): Smithkline Beecham Farmaceutici S.p.A., Italy  
 SOURCE: PCT Int. Appl., 69 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9315106	A1	19930805	WO 1993-EP130	19930120
W: AU, CA, JP, KR, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9334506	A1	19930901	AU 1993-34506	19930120
EP 624163	A1	19941117	EP 1993-903212	19930120
EP 624163	B1	19980325		
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 07506809	T2	19950727	JP 1993-512910	19930120
PRIORITY APPLN. INFO.:			GB 1992-1819	19920124
			WO 1993-EP130	19930120
OTHER SOURCE(S):		MARPAT 120:164906		
GI				



## II



## III

AB The title compds. [I; X-Y = CH:CH, C.tplbond.C; A = a segment of naturally occurring calcitonin contg. 2-6 amino acid units; B = a segment of naturally occurring calcitonin contg. 8-32 amino acid units; R1-R4 = H, C1-4 alkyl] are prepd. Thus, N-hydroxybenzotriazole, DCC, and 4-(dimethylamino)pyridine were added to a soln. of the cyclic peptide II (prepn. given) in DMF; after 10 min at 0.degree., H-Lys(BOC)Leu-Ser(t-Bu)-Gln-Glu(OtBu)-Leu-His(Trt)-Lys(BOC)-Leu-Gln-Thr(t-Bu)-Tyr(t-Bu)-Pro-Arg(Mtr)-Thr(t-Bu)-Asp(OtBu)-Val-Gly-Ala-Gly-Thr(tBu)-Pro-NH2 (also prepd.) was added, the reaction mixt. was maintained at 0.degree. for 1 h and then at room temp. overnight to give, after deprotection, the title compd. III. Rats showed 10.16.+-.0.8 mg Ca per 100 mL serum 1 h after an i.v. administration of 3 ng/Kg of this. Formulations contg. III for nasal, sublingual, buccal, rectal, or vaginal administration are given.

IT **152874-96-9P 152874-97-0P 152983-37-4P**  
**152985-16-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as intermediate for hypocalcemics)

L69 ANSWER 19 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:77620 HCAPLUS

DOCUMENT NUMBER: 120:77620

TITLE: Synthetic studies on antifungal cyclic peptides, echinocandins. Stereoselective total synthesis of echinocandin D via a novel peptide coupling

AUTHOR(S): Kurokawa, Natsuko; Ohfune, Yasufumi

CORPORATE SOURCE: Suntory Inst. Bioorg. Res., Osaka, 618, Japan

SOURCE: Tetrahedron (1993), 49(28), 6195-222

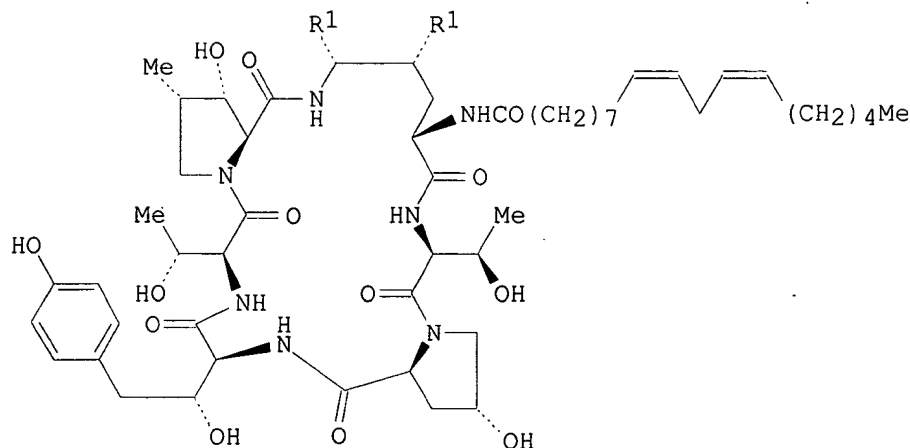
CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:77620

GI



I

AB Synthetic studies on the novel fungicidal oligopeptides, echinocandin C (I; R1 = OH) and D (I; R1 = H), are described. The constituent amino acids (3S,5S)-3-hydroxy-4-methyl-L-proline, (3R)-hydroxy-L-homotyrosine, hydroxyproline, and 4,5-dihydroxyornithine were synthesized in a stereocontrolled manner from chiral starting materials. The coupling of these amino acids was characterized by the use of unprotected amino acid as the C-terminal and 2-pyridyl thiol ester as the N-terminal, and the coupling was performed in the presence of 1-(trimethylsilyl)imidazole or a catalytic amt. of tert-amine to give C-terminal free dipeptides, which were converted a common pentapeptide intermediate for the synthesis of I. The synthesis of I (R1 = H) was achieved by the cyclization of a hexapeptide intermediate.

IT 108543-90-4P 124390-39-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

L69 ANSWER 20 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:560765 HCAPLUS

DOCUMENT NUMBER: 119:160765

TITLE: Substituted penta- and hexapeptides as potent inhibitors of herpes simplex virus type 2 ribonucleotide reductase

AUTHOR(S): Chang, L. L.; Hannah, J.; Ashton, W. T.; Rasmusson, G. H.; Ikeler, T. J.; Patel, G. F.; Garsky, V.; Uncapher, C.; Yamanaka, G.; et al.

CORPORATE SOURCE: Merck Res. Lab., Rahway, NJ, 07065, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1992), 2(10), 1207-12

CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE: Journal

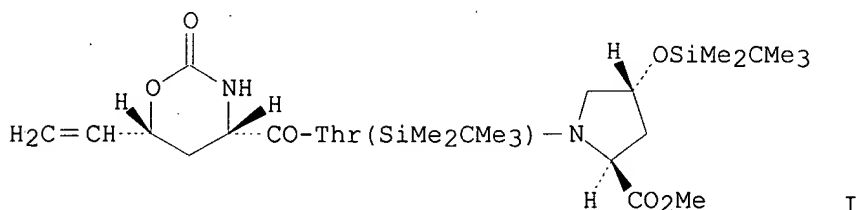
LANGUAGE: English

AB Structural modifications of the Tyr, Asn, and Leu residues of H-Tyr-Val-Val-Asn-Asp-Leu-OH, a peptide which is equipotent to H-Tyr-Ala-Gly-Ala-Val-Val-Asn-Asp-Leu-OH (I) in the inhibition of herpes simplex virus type 2 ribonucleotide reductase (HSV-2 RR), have produced peptides which are as much as 90- to 120-times as potent as I in vitro against HSV-2 RR. The chem. and the structure activity relationships of these inhibitors are described.

IT 150048-49-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and inhibition by, of herpes simplex virus type 2 ribonucleotide reductase)

L69 ANSWER 21 OF 25 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1990:179812 HCAPLUS  
 DOCUMENT NUMBER: 112:179812  
 TITLE: Stereoselective hydroxylation of a peptide side chain.  
 The synthesis of the echinocandin right-half  
 equivalent  
 AUTHOR(S): Sakaitani, Masahiro; Ohfuné, Yasufumi  
 CORPORATE SOURCE: Suntory Inst. Bioorg. Res., Osaka, 618, Japan  
 SOURCE: Tetrahedron Letters (1989), 30(17), 2251-4  
 CODEN: TELEAY; ISSN: 0040-4039  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 112:179812  
 GI

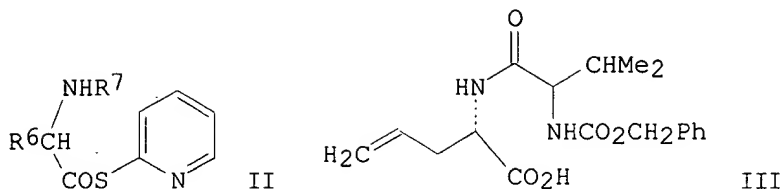


AB Highly stereoselective synthesis of the functionalized tripeptide I,  
 equiv. to the echinocandin C right half from the simple and rather sym.  
 tripeptide Me3CO2C-Alg-Thr(SiMe2CMe3)-Alg-ONa (II; Alg = L-allylglycine)  
 has been accomplished based on the halolactonization from the C-terminal  
 of II and the cyclic carbamate formation from the N-terminal of II.  
 IT 108543-87-9P 108543-90-4P 126116-33-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prepn. and bromolactonization of, stereochem. of)  
 IT 108568-41-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

L69 ANSWER 22 OF 25 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1990:36461 HCAPLUS  
 DOCUMENT NUMBER: 112:36461  
 TITLE: Preparation of peptides as intermediates for  
 agrochemicals, pharmaceuticals, and flavoring agents  
 INVENTOR(S): Ofuna, Yasushi; Kurokawa, Natsuko; Hori, Keiko;  
 Sakaitani, Masahiro  
 PATENT ASSIGNEE(S): Suntory, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62084099	A2	19870417	JP 1985-225498	19851009
PRIORITY APPLN. INFO.:			JP 1985-225498	19851009

GI



AB R3O2CCHR1NHC(=O)CHR8NHR2 [I; R1, R8 = H, alkyl, alkenyl, Ph, aralkyl, etc.; R2 = H, protecting group, (protected) amino acid residue; R3 = H, alkyl], useful as intermediates for agrochems., pharmaceuticals, and flavoring agents, are prepd. via reaction of H2NCHR5CO2H [R5 = H, alkyl, alkenyl, etc.] with (trialkylsilyl)imidazole and treating the product with amino acid esters of 2-mercaptopyridine II [R6 = H, alkyl, etc.; R7 = protecting group, (protected) amino acid residue] optionally followed by deprotection and alkylation. L-Allylglycine in DMF was reacted with (trimethylsilyl)imidazole at room temp. for 1 h, II (R6 = Me2CH, R7 = PhCH2O2C) added, and the resulting mixt. stirred at room temp. for 2 h to give peptide III.

IT 124390-39-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as intermediate for agrochems., pharmaceuticals, and flavorants)

L69 ANSWER 23 OF 25 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1987:407620 HCAPLUS  
DOCUMENT NUMBER: 107:7620  
TITLE: A method for peptide synthesis  
INVENTOR(S): Ofuna, Yasushi; Kurokawa, Natsuko; Hori, Keiko;  
Sakaitani, Masahiro  
PATENT ASSIGNEE(S): Suntory, Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62059295	A2	19870314	JP 1985-199285	19850909
PRIORITY APPLN. INFO.:			JP 1985-199285	19850909

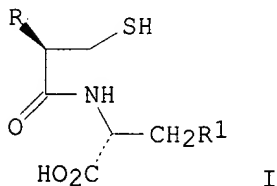
AB R1CH(CO2R3)NHC(=O)CHR6NHR2 [I; R1, R6 = (un)protected C1-7 hydroxyalkyl, (un)protected C7-9 hydroxyaralkyl, C1-10 alkyl, C2-6 alkenyl, Ph, C7-9 aralkyl, C2-6 alkylthioalkyl, (un)protected C1-4 mercaptoalkyl, indolylmethyl; R2 = H, NH2 protecting group, COCHR1NHR4 where R4 = H or NH2 protecting group; R3 = H or alkyl] were prepd. by silylation of unprotected amino acids R1CH(NH2)CO2H with a trialkylsilylimidazole, e.g., (tert-butyldimethylsilyl)imidazole, (trimethylsilyl)imidazole, (triethylsilyl)imidazole, (dimethylphenylsilyl)imidazole and (tert-butyldiphenylsilyl)imidazole and reaction of the intermediate R1CH(NHR5)CO2R5 (R5 = trialkylsilyl) with an active ester R6CH(NHR2)C(O)SQ (Q = pyridin-2-yl). This method prevents racemization of amino acids and peptides and decompn. of the active esters. .alpha.-Amino acids can be used without protective groups. Thus, a suspension of 230 mg L-allylglycine in DMF was reacted with 560 mg trimethylsilylimidazole for 1 h and 550 mg N-(benzyloxycarbonyl)valine 2-pyridylthio ester in DMF was added. The mixt. was allowed to react at room temp. for 2 h to give 88% N-(benzyloxycarbonyl)-L-valyl-2-amino-4-pentenoic acid.

IT 108543-87-9P 108543-90-4P 108568-41-8P

X

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, via peptide coupling of tris(trialkylsilyl)amino acid with  
 amino acid pyridylthio ester deriv.)

L69 ANSWER 24 OF 25 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1987:15096 HCAPLUS  
 DOCUMENT NUMBER: 106:15096  
 TITLE: Penicillin biosynthesis: structure-reactivity profile  
 of allenic substrates for isopenicillin N synthetase  
 AUTHOR(S): Baldwin, Jack E.; Adlington, Robert M.; Basak, Amit;  
 Ting, Hong Hoi  
 CORPORATE SOURCE: Dyson Perrins Lab., Univ. Oxford, Oxford, OX1 3QY, UK  
 SOURCE: Journal of the Chemical Society, Chemical  
 Communications (1986), (16), 1280-1  
 CODEN: JCCCAT; ISSN: 0022-4936  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



←  
 may be good

AB The allene-contg. peptides (I) (R = L-.alpha.-aminoadipoyl, R1 = CH:C:CH2,  
 CH2CH:C:CH2) were prepd. and evaluated as substrates for isopenicillin N  
 synthetase: the formation of penam, cepham, and dienyl products is  
 consistent with a desaturative ring closure mechanism.

IT **105988-86-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and ring closure by isopenicillin N synthetase)

L69 ANSWER 25 OF 25 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1973:537474 HCAPLUS  
 DOCUMENT NUMBER: 79:137474  
 TITLE: Hormone-receptor relations. Synthesis and properties  
 of [phenylalanine2.(4,5-dehydro-4,5-  
 ditritio)norvaline4]adrenocorticotropin  
 (1-24)-tetracosapeptide  
 AUTHOR(S): Schwyzer, Robert; Karlaganis, Georg  
 CORPORATE SOURCE: Inst. Molekularbiol. Biophys., Eidg. Tech. Hochsch.,  
 Zurich, Switz.  
 SOURCE: Justus Liebig's Annalen der Chemie (1973), (8),  
 1298-309  
 CODEN: JLACBF; ISSN: 0075-4617  
 DOCUMENT TYPE: Journal  
 LANGUAGE: German

AB The T-labeled ACTH analog [Phe2,Nva4-4,5-t2]ACTH1-24 (I) was prepd. from  
 protected [Phe2,Agl4]-ACTH1-24 (Agl = L-allylglycine) by catalytic  
 tritiation of the double bond and subsequent removal of the protecting  
 groups by HF. The unlabeled [Phe2,Nva4] analog (II) was similarly prepd.  
 I and II were completely active hormonal agonists in isolated rat  
 lipocytes and adrenal cortex cells but 10-fold less active than ACTH1-24  
 with the natural sequence.

IT **50299-19-9P 50299-20-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)



=> fil caold;s 168

FILE 'CAOLD' ENTERED AT 17:03:58 ON 02 JUN 2003  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L70 0 L68

=> fil reg

FILE 'REGISTRY' ENTERED AT 17:04:06 ON 02 JUN 2003  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 1 JUN 2003 HIGHEST RN 523977-56-2  
 DICTIONARY FILE UPDATES: 1 JUN 2003 HIGHEST RN 523977-56-2

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

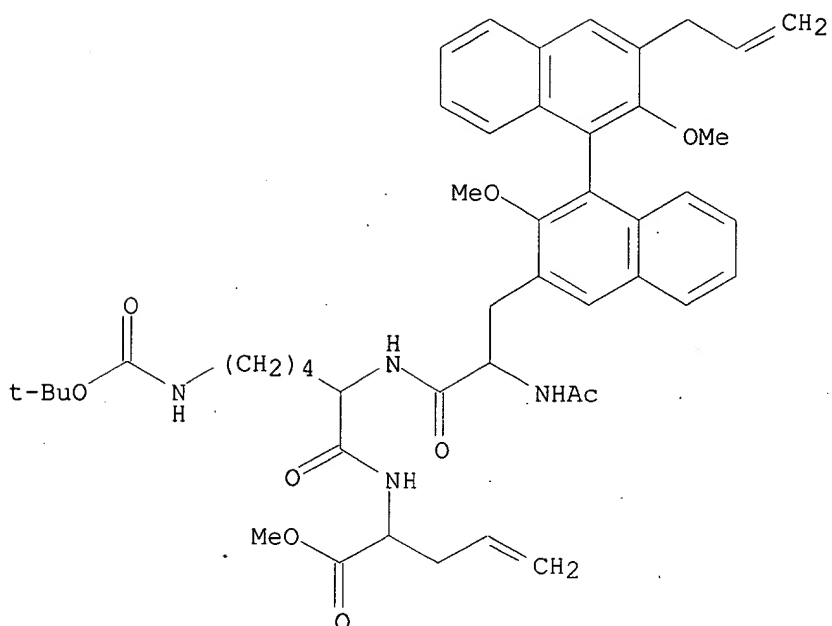
Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

=>

=> d ide can 168 tot .

L68 ANSWER 1 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 509091-70-7 REGISTRY  
 CN L-Norvaline, N-acetyl-3-[(1S)-2,2'-dimethoxy-3'-(2-propenyl)[1,1'-binaphthalen]-3-yl]alanyl-N6-[(1,1-dimethylethoxy)carbonyl]-D-lysyl-4,5-didehydro-, methyl ester (9CI) (CA INDEX NAME)  
 MF C47 H58 N4 O9  
 SR CA  
 LC STN Files: CA, CAPLUS



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:304509

L68 ANSWER 2 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 484024-62-6 REGISTRY

CN L-Norvaline, N-acetyl-3-[2,2'-dimethoxy-3'-(2-propenyl)[1,1'-binaphthalen]-3-yl]alanyl-D-arginyl-4,5-didehydro-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

FS STEREOSEARCH

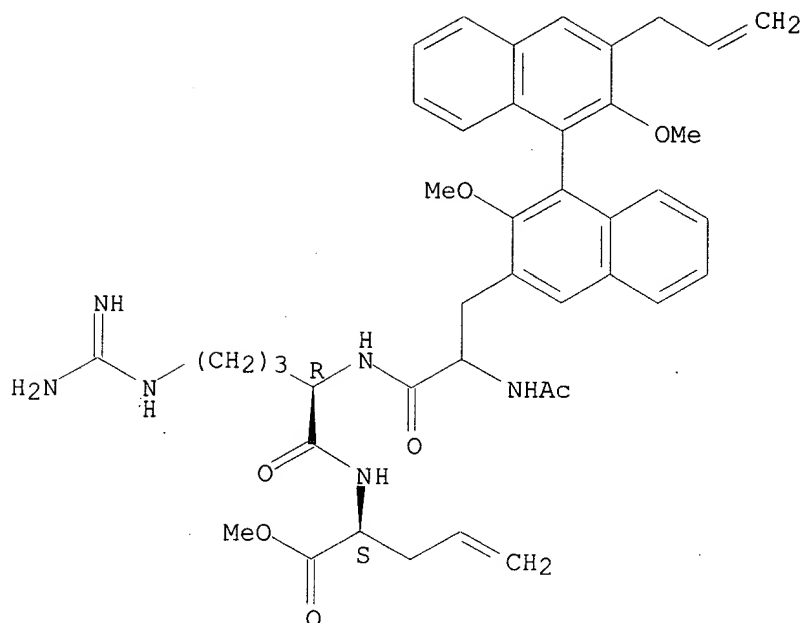
MF C42 H50 N6 O7 . Cl H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

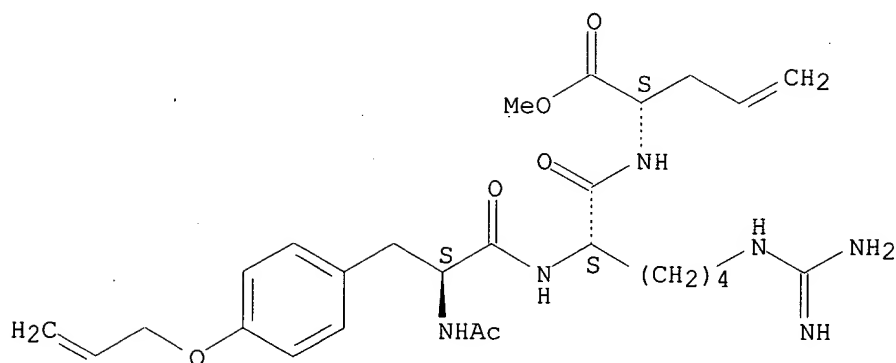
● HCl

1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:90077

L68 ANSWER 3 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 484024-21-7 REGISTRY  
 CN L-Norvaline, N-acetyl-O-2-propenyl-L-tyrosyl-N6-(aminoiminomethyl)-L-lysyl-  
 4,5-didehydro-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C27 H40 N6 O6 . Cl H  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



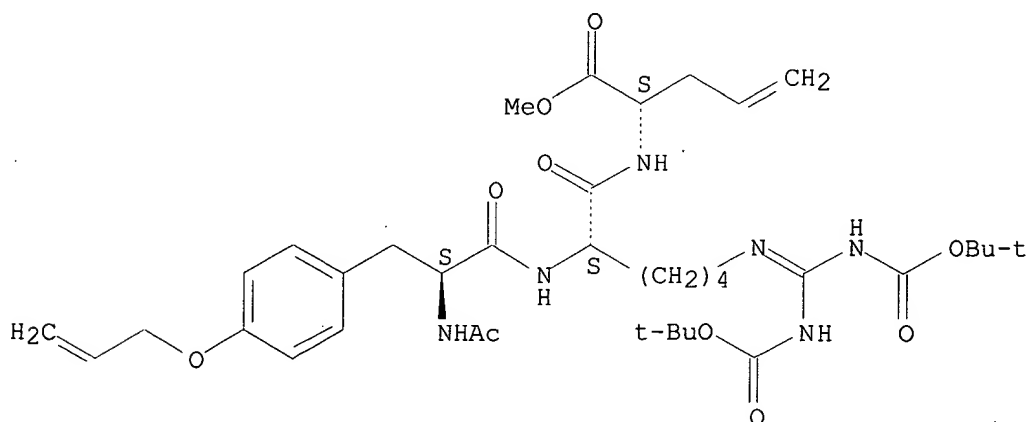
● HCl

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:90077

L68 ANSWER 4 OF 43 REGISTRY COPYRIGHT 2003 ACS  
RN 484024-20-6 REGISTRY  
CN L-Norvaline, N-acetyl-O-2-propenyl-L-tyrosyl-N6-[bis[(1,1-dimethylethoxy)carbonyl]amino]methylene]-L-lysyl-4,5-didehydro-, methyl ester (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C37 H56 N6 O10  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

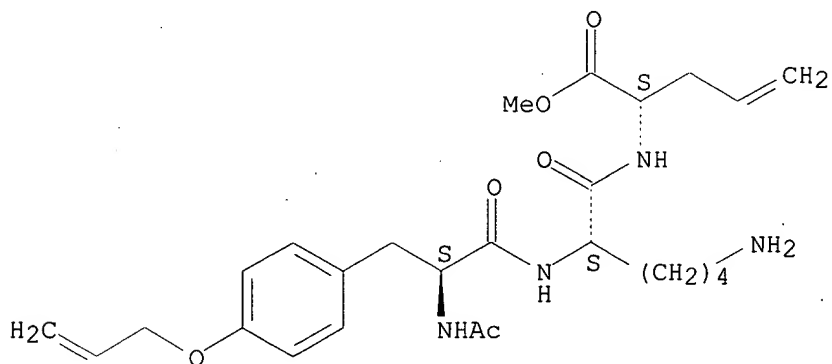
1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:90077

L68 ANSWER 5 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 484024-17-1 REGISTRY  
 CN L-Norvaline, N-acetyl-O-2-propenyl-L-tyrosyl-L-lysyl-4,5-didehydro-,  
 methyl ester, monohydrochloride (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C26 H38 N4 O6 . Cl H  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



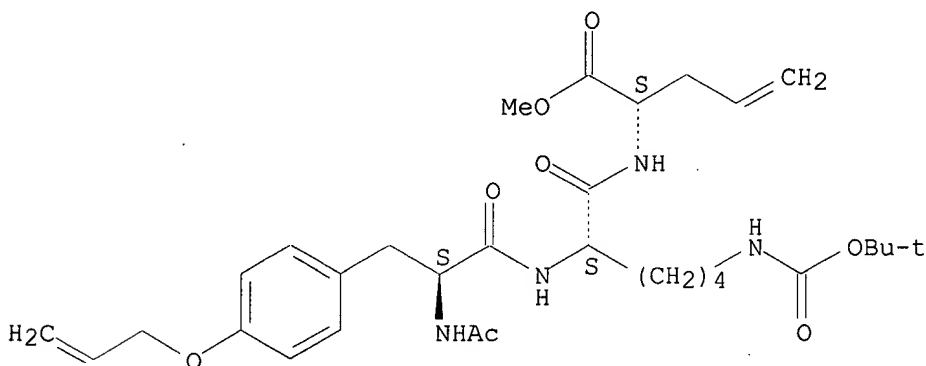
● HCl

1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:90077

L68 ANSWER 6 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 484024-16-0 REGISTRY  
 CN L-Norvaline, N-acetyl-O-2-propenyl-L-tyrosyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-4,5-didehydro-, methyl ester (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C31 H46 N4 O8  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:90077

L68 ANSWER 7 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 484023-99-6 REGISTRY

CN L-Norvaline, N-acetyl-3-[2,2'-dimethoxy-3'-(2-propenyl)[1,1'-binaphthalen]-3-yl]alanyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-4,5-didehydro-, methyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

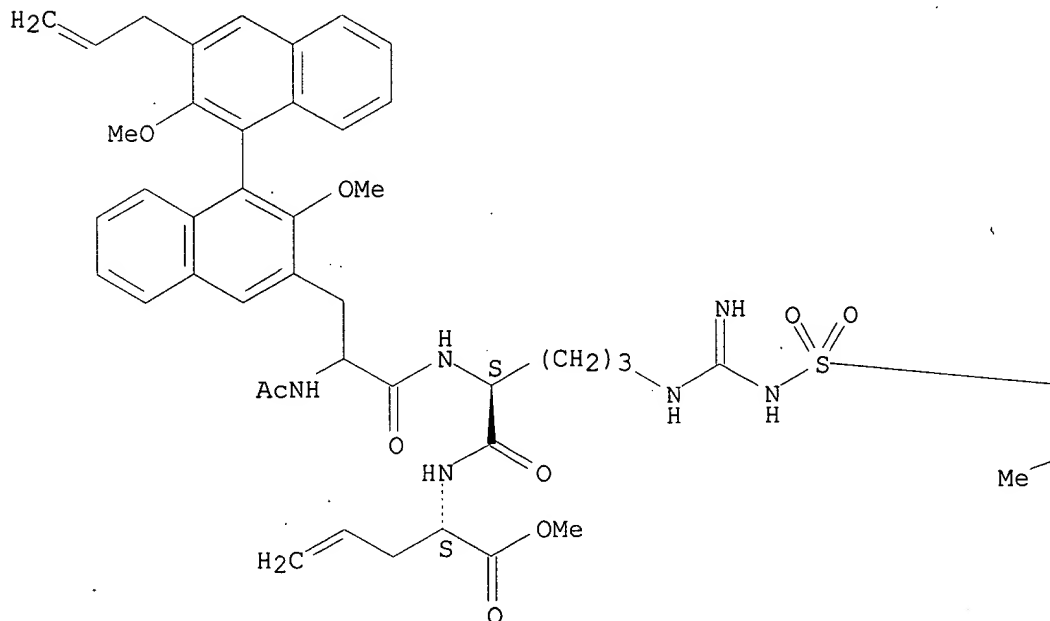
MF C56 H68 N6 O10 S

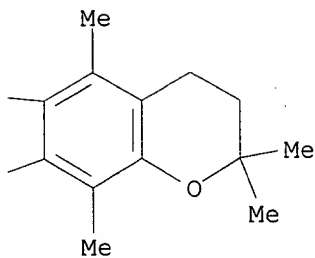
SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A





1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:90077

L68 ANSWER 8 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 484023-96-3 REGISTRY

CN L-Norvaline, N-acetyl-3-[2,2'-dimethoxy-3'-(2-propenyl)[1,1'-binaphthalen]-3-yl]alanyl-N6-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-lysyl-4,5-didehydro-, methyl ester (9CI) (CA INDEX NAME)

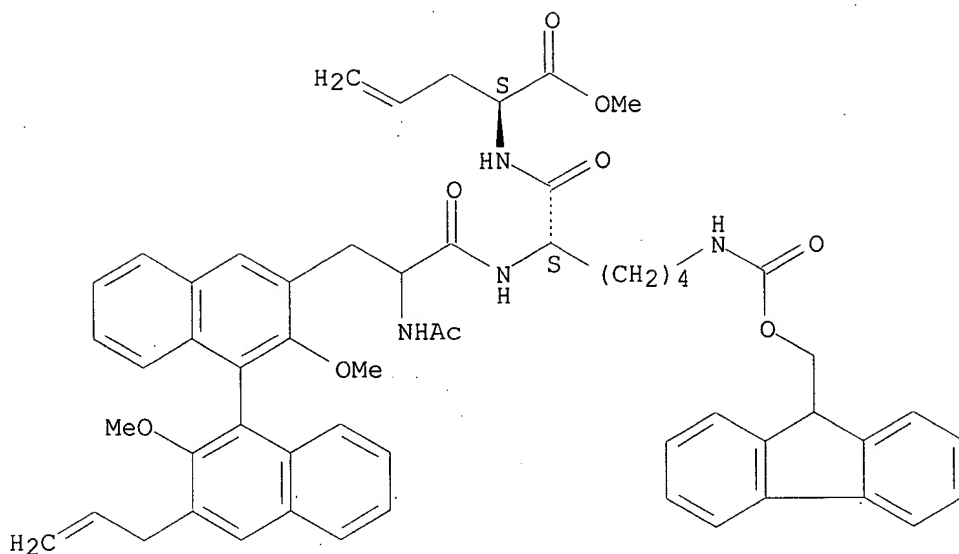
FS STEREOSEARCH

MF C57 H60 N4 O9

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:90077

L68 ANSWER 9 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 484023-93-0 REGISTRY

CN L-Norvaline, N-acetyl-3-[2,2'-dimethoxy-3'-(2-propenyl)[1,1'-binaphthalen]-3-yl]alanyl-N6-[(1,1-dimethylethoxy)carbonyl]-D-lysyl-4,5-didehydro-, methyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C47 H58 N4 O9

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

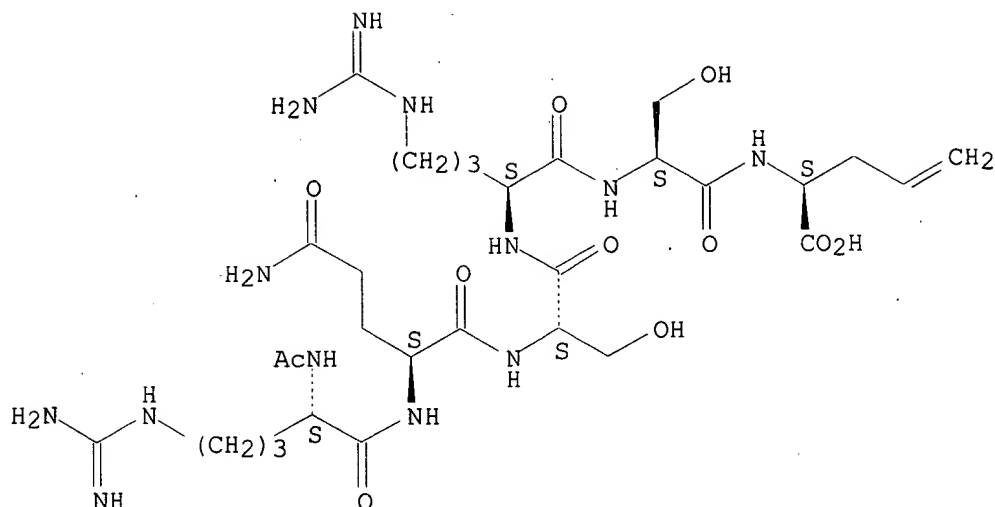


1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

L68 ANSWER 10 OF 43 REGISTRY COPYRIGHT 2003 ACS  
RN 476681-78-4 REGISTRY  
CN L-Norvaline, N2-acetyl-L-arginyl-L-glutaminy-L-seryl-L-arginyl-L-seryl-  
4,5-didehydro- (9CI) (CA INDEX NAME)

CN 377: PN: WO02095007 SEQID: 527 claimed protein  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C30 H53 N13 O11  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:16587

L68 ANSWER 11 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 476681-18-2 REGISTRY

CN Norvaline, N2-acetyl-L-glutaminyglycyl-L-arginyl-L-seryl-L-seryl-4,5-didehydro- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 325: PN: WO02095007 SEQID: 453 claimed sequence

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C26 H44 N10 O11

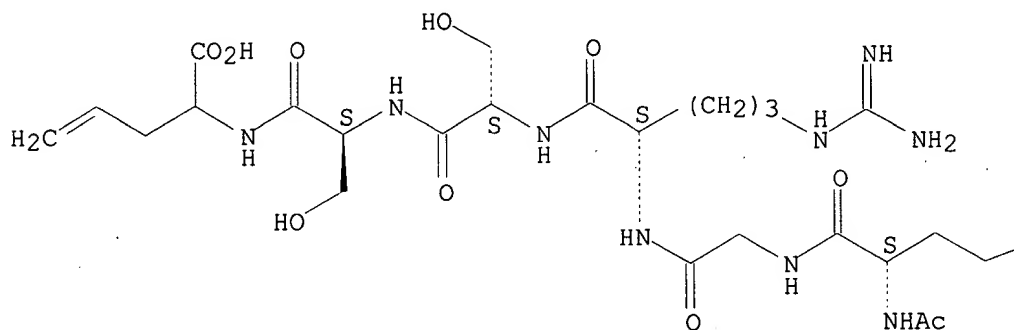
SR CA

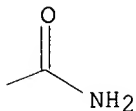
LC STN Files: CA, CAPLUS, TOXCENTER

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

PAGE 1-A





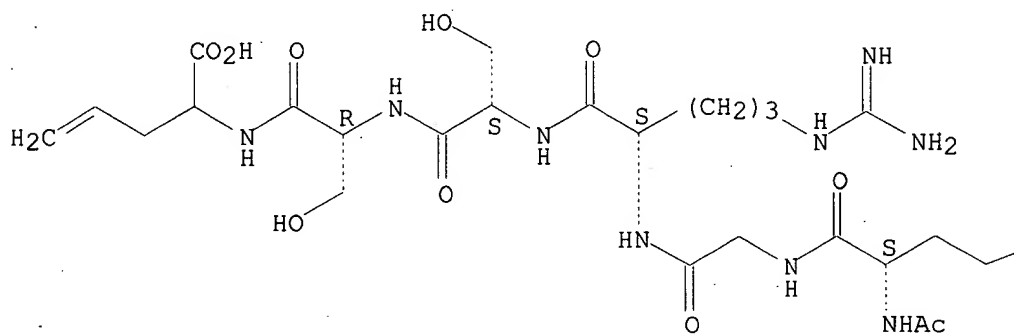
1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

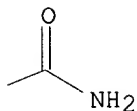
L68 ANSWER 12 OF 43 REGISTRY COPYRIGHT 2003 ACS  
RN 476681-17-1 REGISTRY  
CN Norvaline, N2-acetyl-L-glutaminylglycyl-L-arginyl-L-seryl-D-seryl-4,5-  
didehydro- (9CI) (CA INDEX NAME)

LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

PAGE 1-A





\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

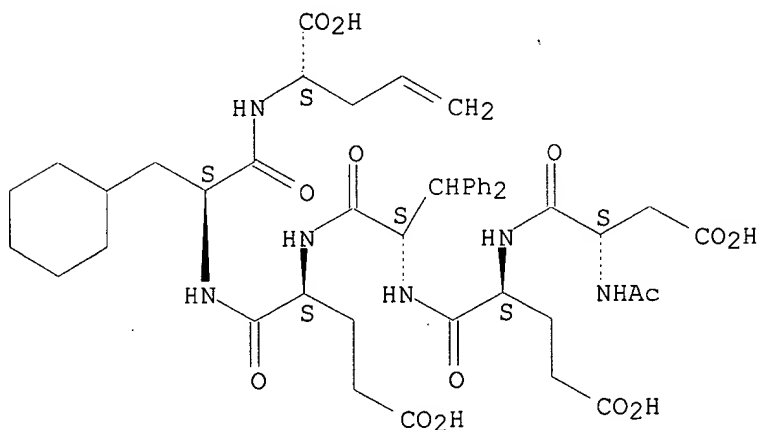
1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:16587

L68 ANSWER 13 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 444990-64-1 REGISTRY  
 CN L-Norvaline, N-acetyl-L-.alpha.-aspartyl-L-.alpha.-glutamyl-.beta.-phenyl-  
 L-phenylalanyl-L-.alpha.-glutamyl-3-cyclohexyl-L-alanyl-4,5-didehydro-  
 (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C45 H58 N6 O14  
 SR CA  
 LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

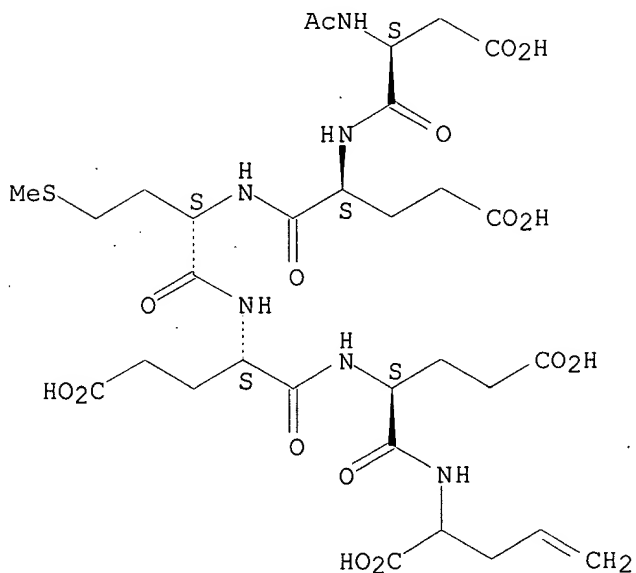
REFERENCE 1: 137:149812

L68 ANSWER 14 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 272435-37-7 REGISTRY  
 CN Norvaline, N-acetyl-L-.alpha.-aspartyl-L-.alpha.-glutamyl-L-methionyl-L-  
 .alpha.-glutamyl-L-.alpha.-glutamyl-4,5-didehydro- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C31 H46 N6 O16 S  
 SR CA  
 LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

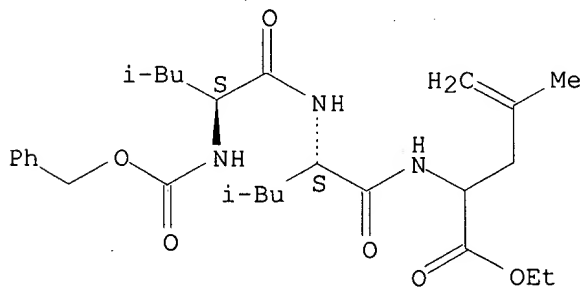


1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 133:12727

L68 ANSWER 15 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 246242-59-1 REGISTRY  
 CN Leucine, N-[(phenylmethoxy)carbonyl]-L-leucyl-L-leucyl-4,5-didehydro-,  
 ethyl ester (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C28 H43 N3 O6  
 CI COM  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

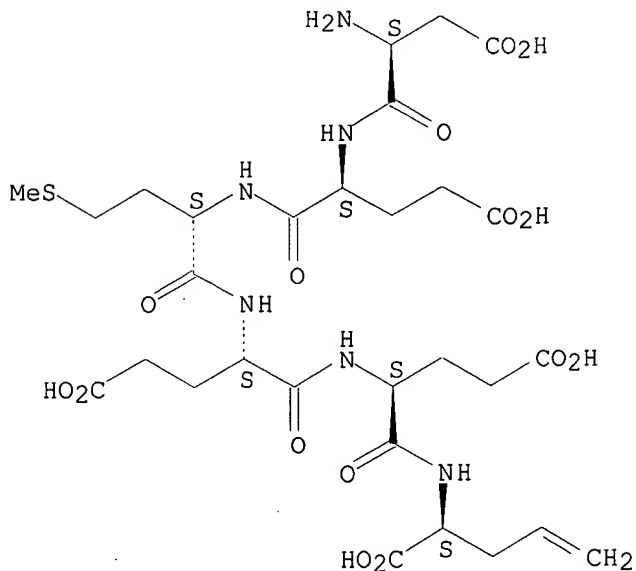
1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 131:286829

L68 ANSWER 16 OF 43 REGISTRY COPYRIGHT 2003 ACS  
RN 234757-88-1 REGISTRY  
CN L-Norvaline, L-.alpha.-aspartyl-L-.alpha.-glutamyl-L-methionyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-4,5-didehydro- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C29 H44 N6 O15 S  
SR CA  
LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



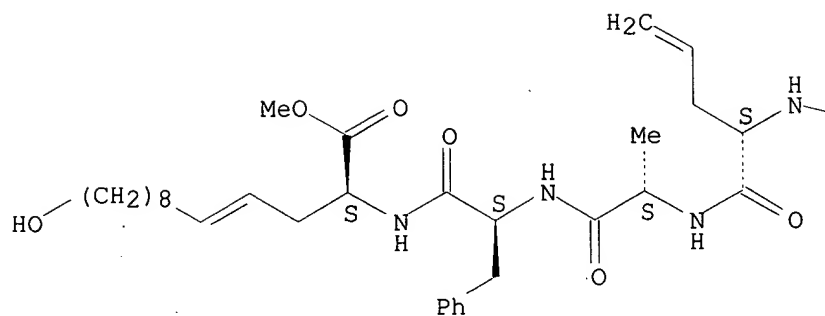
1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 131:127188

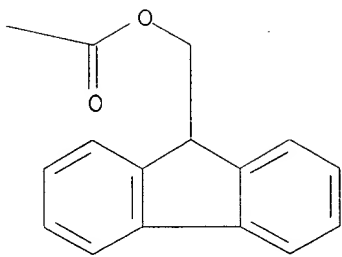
L68 ANSWER 17 OF 43 REGISTRY COPYRIGHT 2003 ACS  
RN 199127-25-8 REGISTRY  
CN 4-Tridecenoic acid, 4,5-didehydro-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-norvalyl-L-alanyl-L-phenylalanyl-2-amino-13-hydroxy-, methyl ester, (2S)- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C46 H58 N4 O8  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry.  
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B



1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 128:13423

L68 ANSWER 18 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 187279-83-0 REGISTRY

CN L-Norvaline, 4,5-didehydro-L-norvalyl-L-phenylalanyl-L-phenylalanyl-4,5-didehydro-L-norvalyl-4,5-didehydro-L-norvalyl-L-phenylalanyl-L-phenylalanyl-4,5-didehydro-L-norvalyl-4,5-didehydro-L-norvalyl-L-phenylalanyl-L-phenylalanyl-4,5-didehydro-, ethyl ester (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

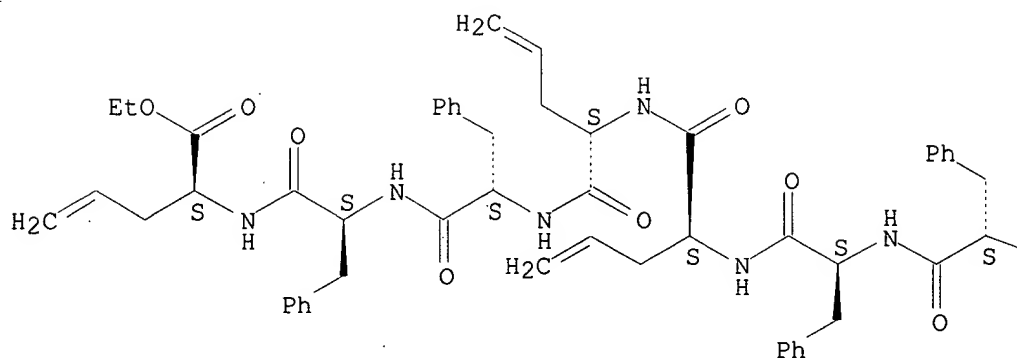
MF C86 H102 N12 O13

SR CA

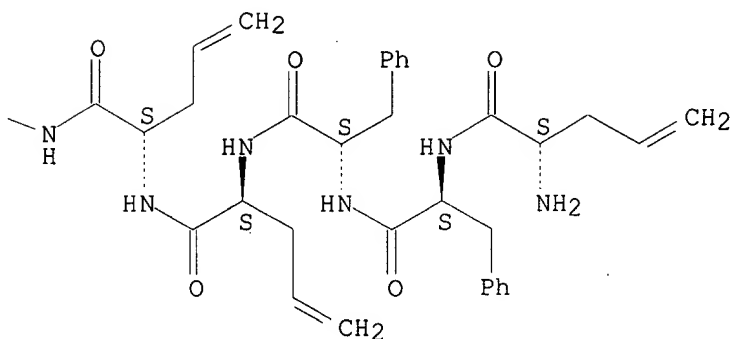
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



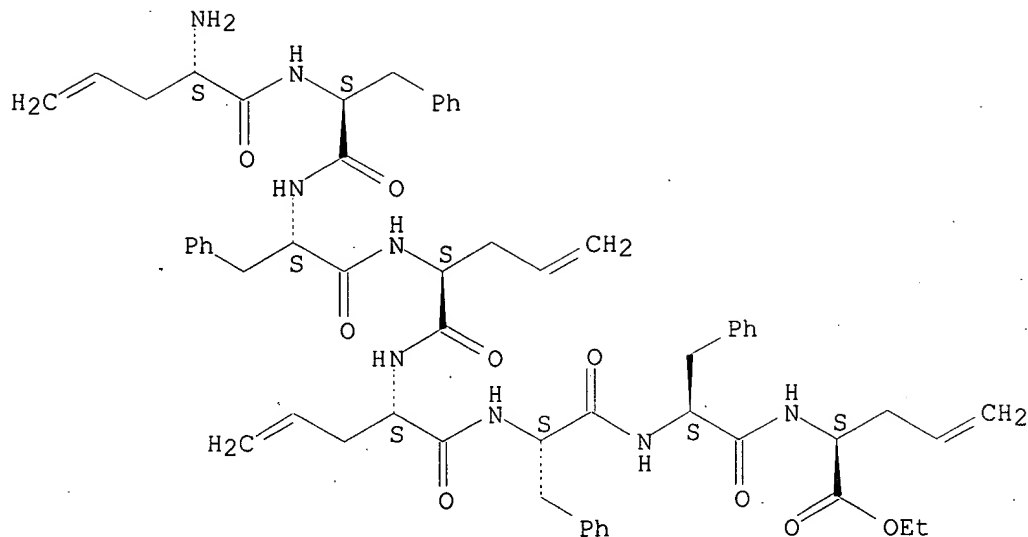
1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 126:171876

L68 ANSWER 19 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 187279-81-8 REGISTRY  
 CN L-Norvaline, 4,5-didehydro-L-norvalyl-L-phenylalanyl-L-phenylalanyl-4,5-didehydro-L-norvalyl-4,5-didehydro-L-norvalyl-L-phenylalanyl-L-phenylalanyl-4,5-didehydro-, ethyl ester (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C58 H70 N8 O9  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.





1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 126:171876

L68 ANSWER 20 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 187279-79-4 REGISTRY

CN L-Norvaline, 4,5-didehydro-L-norvalyl-L-phenylalanyl-L-phenylalanyl-4,5-didehydro-, ethyl ester (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

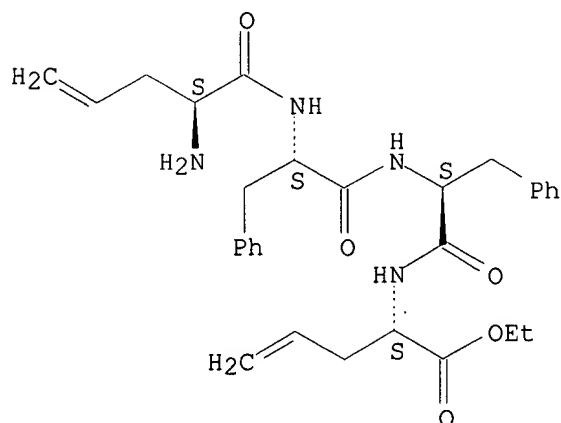
MF C30 H38 N4 O5

SR CA

LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1957 TO DATE)

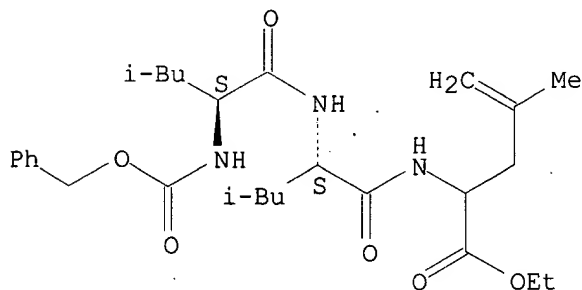
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 126:171876

L68 ANSWER 21 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 180993-77-5 REGISTRY  
 CN Leucine, 4,5-didehydro-N-[N-[N-[(phenylmethoxy)carbonyl]-L-leucyl]-L-leucyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN DL-Leucine, 4,5-didehydro-N-[N-[N-[(phenylmethoxy)carbonyl]-L-leucyl]-L-leucyl]-, ethyl ester, monohydrochloride  
 FS STEREOSEARCH  
 MF C28 H43 N3 O6 . Cl H  
 SR CA  
 LC STN Files: CA, CAPLUS  
 CRN (246242-59-1)

Absolute stereochemistry.



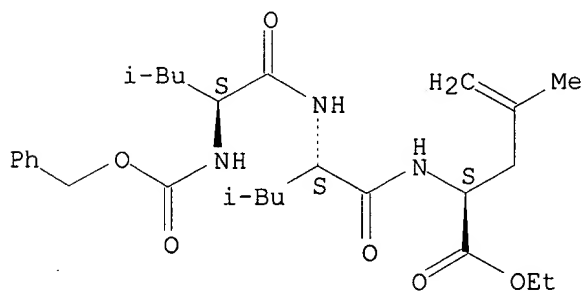
● HCl

1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 125:222458

L68 ANSWER 22 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 180778-84-1 REGISTRY  
 CN L-Leucine, 4,5-didehydro-N-[N-[N-[(phenylmethoxy)carbonyl]-L-leucyl]-L-leucyl]-, ethyl ester (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C28 H43 N3 O6  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1957 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 125:222458

REFERENCE 2: 125:196393

L68 ANSWER 23 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 180778-83-0 REGISTRY

CN D-Leucine, 4,5-didehydro-N-[N-[N-[(phenylmethoxy)carbonyl]-L-leucyl]-L-leucyl]-, ethyl ester (9CI) (CA INDEX NAME)

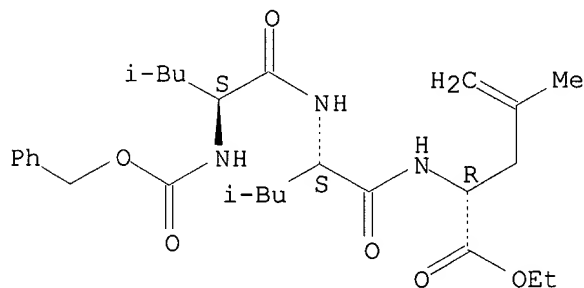
FS STEREOSEARCH

MF C28 H43 N3 O6

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1957 TO DATE)

2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 125:222458

REFERENCE 2: 125:196393

L68 ANSWER 24 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 178034-94-1 REGISTRY

CN D-Norvaline, N-[2-bromo-N-[N-[(2,6-dimethyl-1-piperidiny)carbonyl]-L-leucyl]-D-tryptophyl]-4,5-didehydro-, cis- (9CI) (CA INDEX NAME)

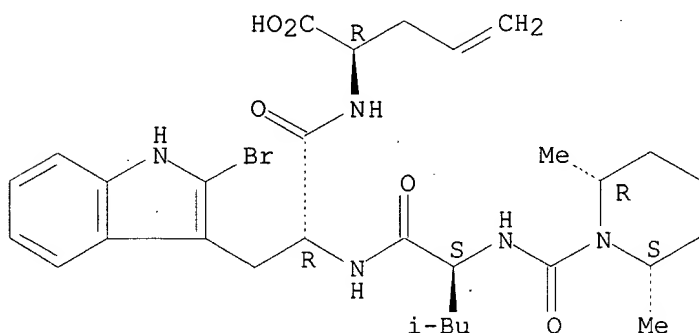
FS STEREOSEARCH

MF C30 H42 Br N5 O5

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 125:59118

L68 ANSWER 25 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 173723-66-5 REGISTRY

CN L-Norvaline, 4,5-didehydro-N-[(phenylmethoxy)carbonyl]-L-norvalyl-L-phenylalanyl-L-phenylalanyl-4,5-didehydro-, ethyl ester (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN L-Norvaline, 4,5-didehydro-N-[N-[N-[4,5-didehydro-N-[(phenylmethoxy)carbonyl]-L-norvalyl]-L-phenylalanyl]-L-phenylalanyl]-, ethyl ester

FS PROTEIN SEQUENCE; STEREOSEARCH

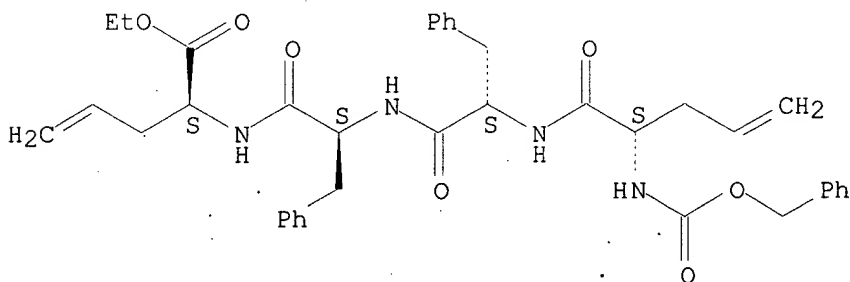
MF C38 H44 N4 O7

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

## \*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1957 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 126:171876

REFERENCE 2: 124:176881

L68 ANSWER 26 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 163446-09-1 REGISTRY

CN D-Norvaline, N-[2-bromo-N-[N-[(2,6-dimethyl-1-piperidiny)carbonyl]-L-leucyl]-D-tryptophyl]-4,5-didehydro- (9CI) (CA INDEX NAME)

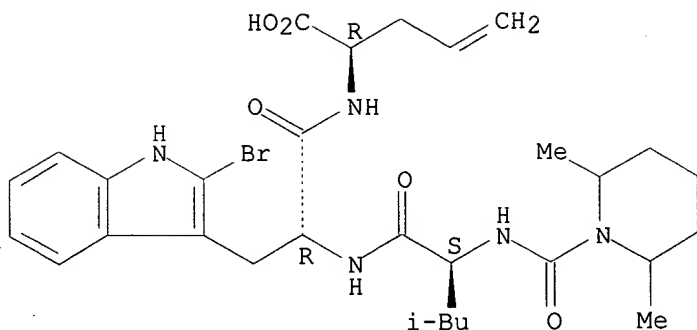
FS STEREOSEARCH

MF C30 H42 Br N5 O5

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



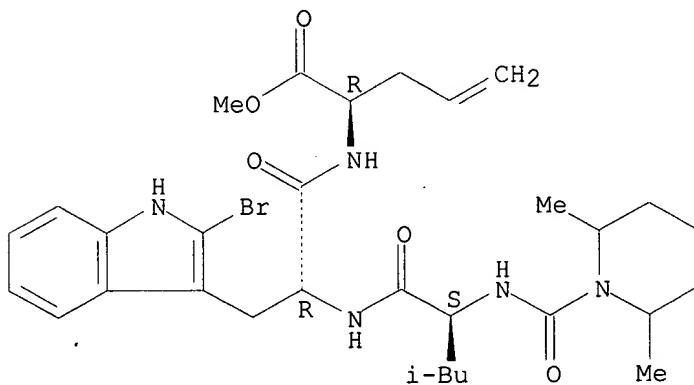
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 122:315099

L68 ANSWER 27 OF 43 REGISTRY COPYRIGHT 2003 ACS  
RN 163446-08-0 REGISTRY  
CN D-Norvaline, N-[2-bromo-N-[N-[(2,6-dimethyl-1-piperidinyl)carbonyl]-L-leucyl]-D-tryptophyl]-4,5-didehydro-, methyl ester (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C31 H44 Br N5 O5  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

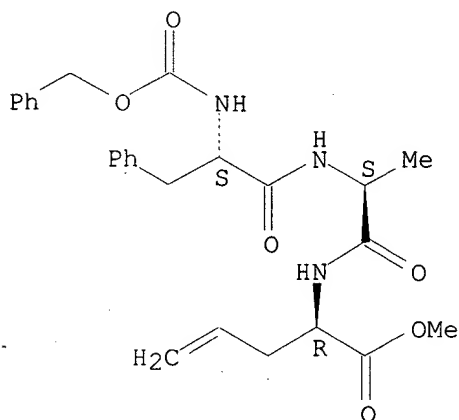
1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 122:315099

L68 ANSWER 28 OF 43 REGISTRY COPYRIGHT 2003 ACS  
RN 158840-20-1 REGISTRY  
CN D-Norvaline, 4,5-didehydro-N-[N-[N-[(phenylmethoxy)carbonyl]-L-phenylalanyl]-L-alanyl]-, methyl ester (9CI) (CA INDEX NAME)  
FS STEREOSEARCH

MF C26 H31 N3 O6  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



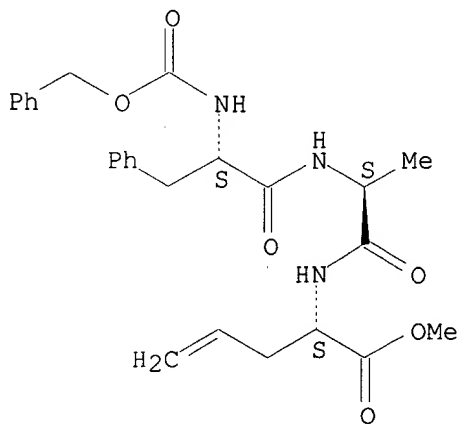
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 121:281212

L68 ANSWER 29 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 158840-19-8 REGISTRY  
 CN L-Norvaline, 4,5-didehydro-N-[N-[N-[(phenylmethoxy)carbonyl]-L-phenylalanyl]-L-alanyl]-, methyl ester (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C26 H31 N3 O6  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

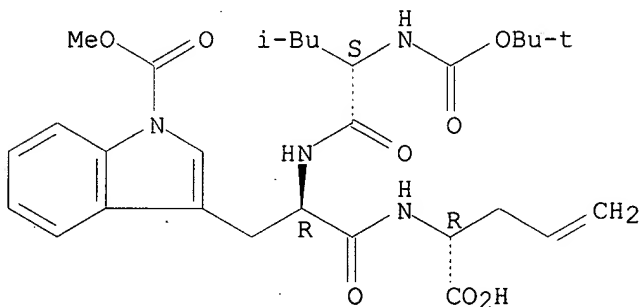
1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 121:281212

L68 ANSWER 30 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 158739-49-2 REGISTRY  
 CN D-Norvaline, 4,5-didehydro-N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl]-1-(methoxycarbonyl)-D-tryptophyl]- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C29 H40 N4 O8  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1957 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

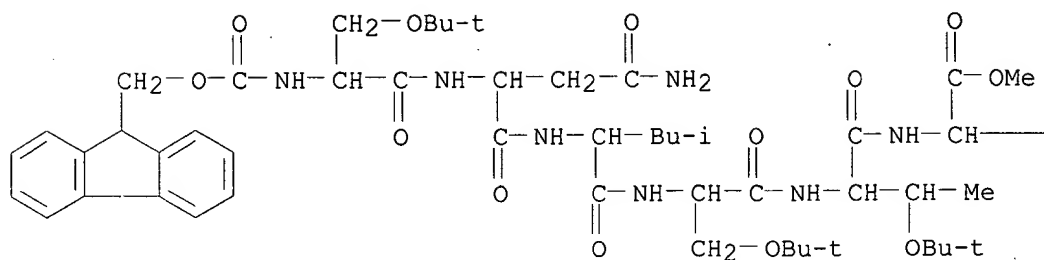
REFERENCE 1: 126:305783

REFERENCE 2: 121:281232

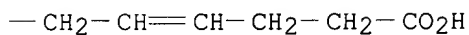
L68 ANSWER 31 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 152985-16-5 REGISTRY  
 CN L-Threoninamide, O-(1,1-dimethylethyl)-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-seryl-L-asparaginyl-L-leucyl-O-(1,1-dimethylethyl)-L-seryl-N-[6-carboxy-1-(methoxycarbonyl)-3-hexenyl]-O-(1,1-dimethylethyl)-, (E)- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE  
 MF C56 H83 N7 O15  
 SR CA  
 LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

PAGE 1-A



PAGE 1-B



1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 120:164906

L68 ANSWER 32 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 152983-37-4 REGISTRY

CN L-Threoninamide, O-(1,1-dimethylethyl)-L-seryl-L-asparaginyl-L-leucyl-O-(1,1-dimethylethyl)-L-seryl-N-[6-carboxy-1-(methoxycarbonyl)-3-hexenyl]-O-(1,1-dimethylethyl)-, (E)- (9CI) (CA INDEX NAME)

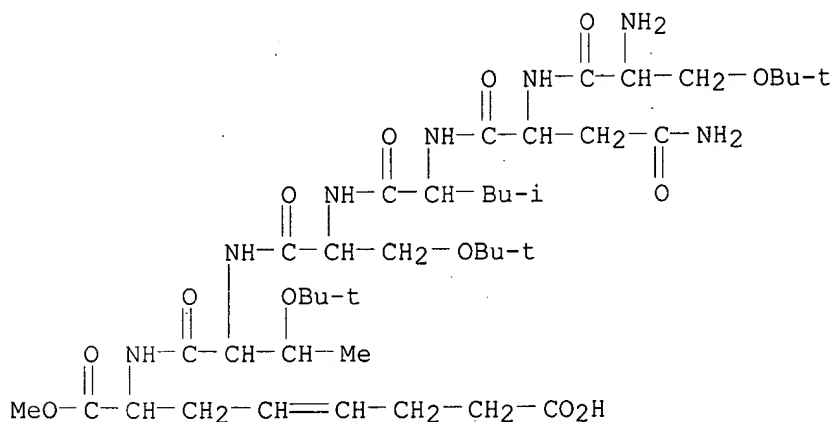
FS PROTEIN SEQUENCE

MF C41 H73 N7 O13

SR CA

LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*



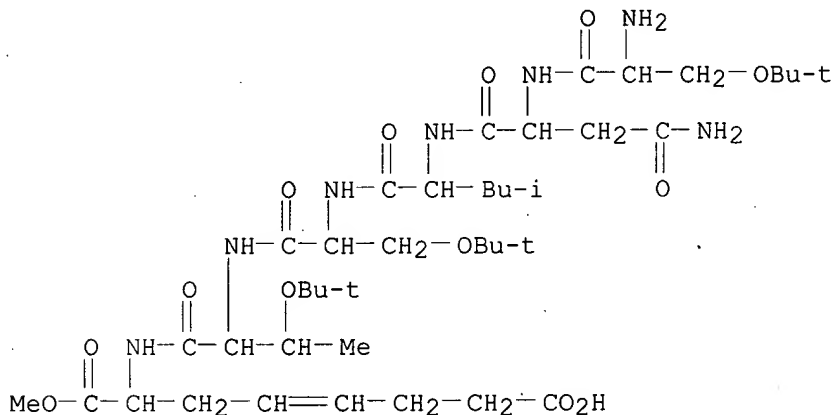
1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 120:164906



L68 ANSWER 33 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 152874-97-0 REGISTRY  
 CN L-Threoninamide, O-(1,1-dimethylethyl)-L-seryl-L-asparaginyl-L-leucyl-O-(1,1-dimethylethyl)-L-seryl-N-[6-carboxy-1-(methoxycarbonyl)-3-hexenyl]-O-(1,1-dimethylethyl)-, [S-(Z)]- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE  
 MF C41 H73 N7 O13  
 SR CA  
 LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*



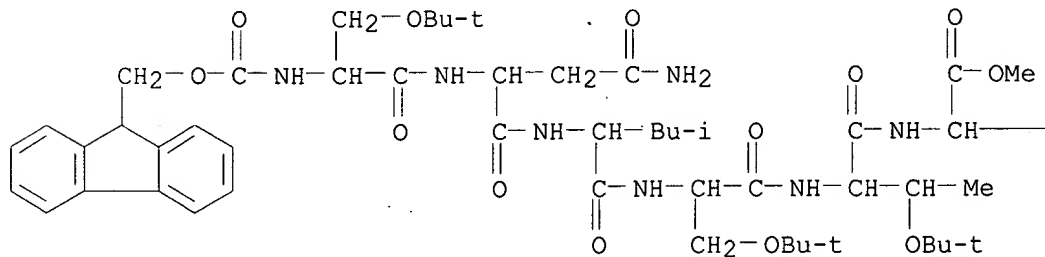
1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 120:164906

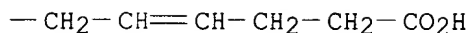
L68 ANSWER 34 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 152874-96-9 REGISTRY  
 CN L-Threoninamide, O-(1,1-dimethylethyl)-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-seryl-L-asparaginyl-L-leucyl-O-(1,1-dimethylethyl)-L-seryl-N-[6-carboxy-1-(methoxycarbonyl)-3-hexenyl]-O-(1,1-dimethylethyl)-, [S-(Z)]- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE  
 MF C56 H83 N7 O15  
 SR CA  
 LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

PAGE 1-A



PAGE 1-B



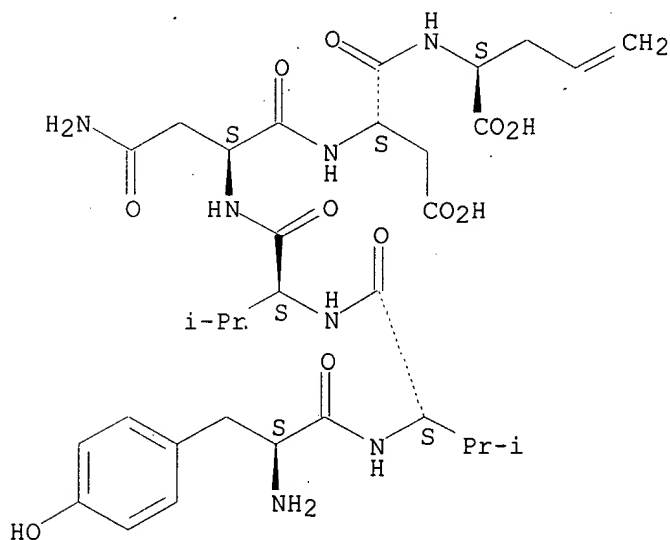
1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 120:164906

L68 ANSWER 35 OF 43 REGISTRY COPYRIGHT 2003 ACS  
RN 150048-49-0 REGISTRY  
CN L-Norvaline, 4,5-didehydro-N-[N-[N2-[N-(N-L-tyrosyl-L-valyl)-L-valyl]-L-asparaginy]-L-.alpha.-aspartyl]- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C32 H47 N7 O11  
SR CA  
LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



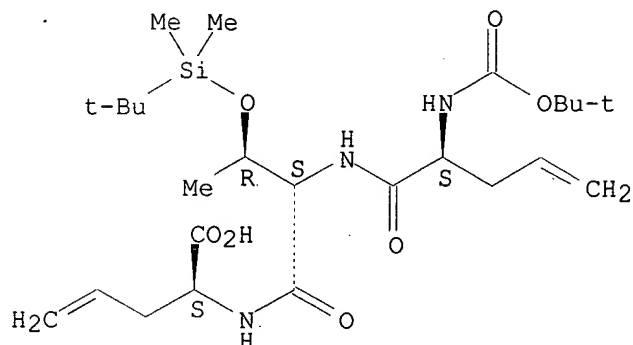
1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 119:160765

L68 ANSWER 36 OF 43 REGISTRY COPYRIGHT 2003 ACS  
RN 126116-33-4 REGISTRY  
CN L-Norvaline, 4,5-didehydro-N-[N-[4,5-didehydro-N-[(1,1-dimethylethoxy)carbonyl]-L-norvalyl]-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl]-, monosodium salt (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C25 H45 N3 O7 Si . Na

SR CA  
 LC STN Files: BEILSTEIN\*, CA, CAPLUS, CASREACT  
 (\*File contains numerically searchable property data)  
 CRN (108543-90-4)

Absolute stereochemistry.



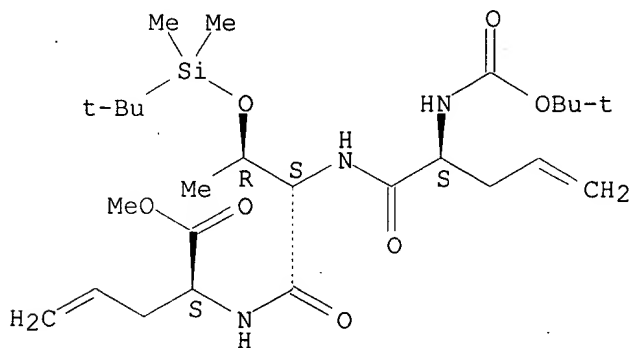
● Na

1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 112:179812

L68 ANSWER 37 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 124390-39-2 REGISTRY  
 CN L-Norvaline, 4,5-didehydro-N-[N-[4,5-didehydro-N-[(1,1-dimethylethoxy)carbonyl]-L-norvalyl]-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl]-, methyl ester (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C26 H47 N3 O7 Si  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1957 TO DATE)

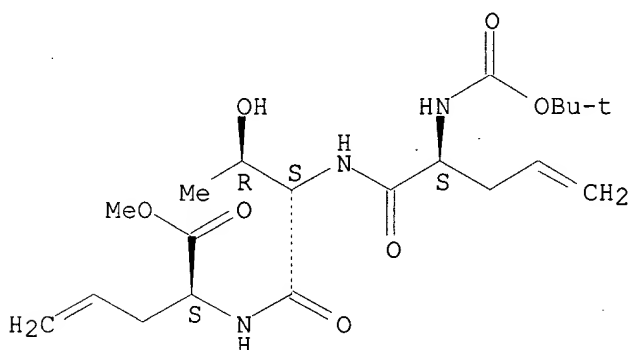
## 2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 120:77620

REFERENCE 2: 112:36461

L68 ANSWER 38 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 108568-41-8 REGISTRY  
 CN L-Norvaline, 4,5-didehydro-N-[N-[4,5-didehydro-N-[(1,1-dimethylethoxy)carbonyl]-L-norvalyl]-L-threonyl]-, methyl ester (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 DR 126116-38-9  
 MF C20 H33 N3 O7  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

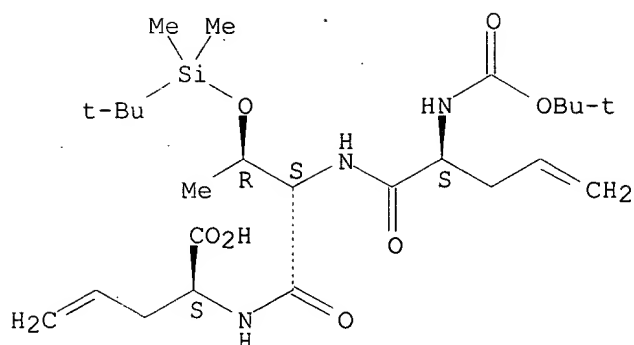
2 REFERENCES IN FILE CA (1957 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 112:179812

REFERENCE 2: 107:7620

L68 ANSWER 39 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 108543-90-4 REGISTRY  
 CN L-Norvaline, 4,5-didehydro-N-[N-[4,5-didehydro-N-[(1,1-dimethylethoxy)carbonyl]-L-norvalyl]-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl]- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C25 H45 N3 O7 Si  
 CI COM  
 SR CA  
 LC STN Files: BEILSTEIN\*, CA, CAPLUS, CASREACT  
 (\*File contains numerically searchable property data)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1957 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 120:77620

REFERENCE 2: 112:179812

REFERENCE 3: 107:7620

L68 ANSWER 40 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 108543-87-9 REGISTRY

CN L-Norvaline, 4,5-didehydro-N-[N-[4,5-didehydro-N-[(1,1-dimethylethoxy)carbonyl]-L-norvalyl]-L-threonyl]- (9CI) (CA INDEX NAME)

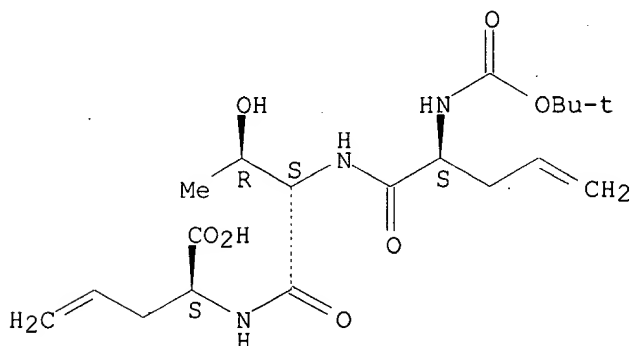
FS STEREOSEARCH

MF C19 H31 N3 O7

SR CA

LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

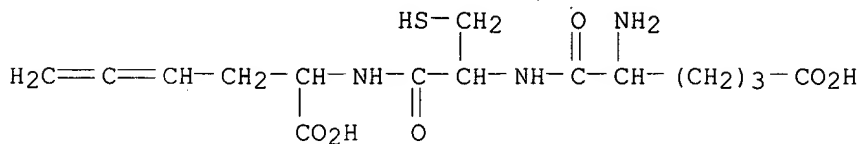
2 REFERENCES IN FILE CA (1957 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 112:179812

REFERENCE 2: 107:7620

L68 ANSWER 41 OF 43 REGISTRY COPYRIGHT 2003 ACS

RN 105988-86-1 REGISTRY  
 CN L-Cysteinamide, 5-carboxy-L-norvalyl-N-(1-carboxy-3,4-pentadienyl)-, (R)-  
 (9CI) (CA INDEX NAME)  
 MF C15 H23 N3 O6 S  
 SR CA  
 LC STN Files: CA, CAPLUS



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

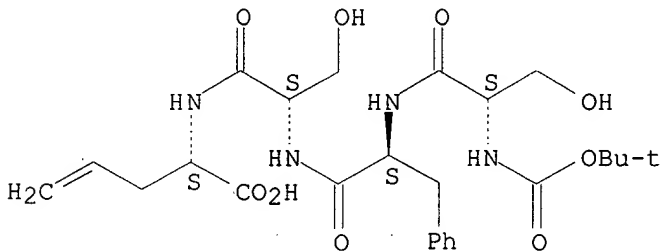
1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 106:15096

L68 ANSWER 42 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 50299-20-2 REGISTRY  
 CN L-Norleucine, 4,5-didehydro-N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-seryl]-L-phenylalanyl]-L-seryl]- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C25 H36 N4 O9  
 LC STN Files: BEILSTEIN\*, CA, CAPLUS  
 (\*File contains numerically searchable property data)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



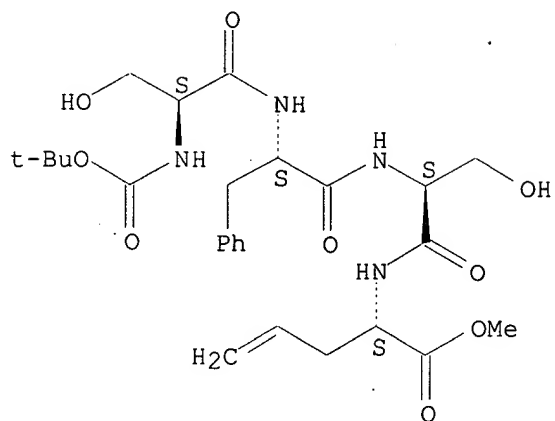
1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 79:137474

L68 ANSWER 43 OF 43 REGISTRY COPYRIGHT 2003 ACS  
 RN 50299-19-9 REGISTRY  
 CN L-Norleucine, 4,5-didehydro-N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-seryl]-L-phenylalanyl]-L-seryl]-, methyl ester (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C26 H38 N4 O9  
 LC STN Files: BEILSTEIN\*, CA, CAPLUS  
 (\*File contains numerically searchable property data)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 79:137474